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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	Feb 24	PCTGEN now available on STN
NEWS 4	Feb 24	TEMA now available on STN
NEWS 5	Feb 26	NTIS now allows simultaneous left and right truncation
NEWS 6	Feb 26	PCTFULL now contains images
NEWS 7	Mar 04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 8	Mar 24	PATDPAFULL now available on STN
NEWS 9	Mar 24	Additional information for trade-named substances without structures available in REGISTRY
NEWS 10	Apr 11	Display formats in DGENE enhanced
NEWS 11	Apr 14	MEDLINE Reload
NEWS 12	Apr 17	Polymer searching in REGISTRY enhanced
NEWS 13	Jun 13	Indexing from 1947 to 1956 added to records in CA/CAPLUS
NEWS 14	Apr 21	New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX
NEWS 15	Apr 28	RDISCLOSURE now available on STN
NEWS 16	May 05	Pharmacokinetic information and systematic chemical names added to PHAR
NEWS 17	May 15	MEDLINE file segment of TOXCENTER reloaded
NEWS 18	May 15	Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 19	May 19	Simultaneous left and right truncation added to WSCA
NEWS 20	May 19	RAPRA enhanced with new search field, simultaneous left and right truncation
NEWS 21	Jun 06	Simultaneous left and right truncation added to CBNB
NEWS 22	Jun 06	PASCAL enhanced with additional data
NEWS 23	Jun 20	2003 edition of the FSTA Thesaurus is now available
NEWS 24	Jun 25	HSDB has been reloaded
NEWS 25	Jul 16	Data from 1960-1976 added to RDISCLOSURE
NEWS 26	Jul 21	Identification of STN records implemented
NEWS 27	Jul 21	Polymer class term count added to REGISTRY
NEWS 28	Jul 22	INPADOC: Basic index (/BI) enhanced; Simultaneous Left and Right Truncation available
NEWS 29	AUG 05	New pricing for EUROPATFULL and PCTFULL effective August 1, 2003
NEWS 30	AUG 13	Field Availability (/FA) field enhanced in BEILSTEIN

NEWS EXPRESS	April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
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NEWS LOGIN	Welcome Banner and News Items
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Print selected from Online session18:14Page 1

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 18:09:17 ON 14 AUG 2003

=> file registry

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

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STRUCTURE FILE UPDATES: 13 AUG 2003 HIGHEST RN 566135-25-9
DICTIONARY FILE UPDATES: 13 AUG 2003 HIGHEST RN 566135-25-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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L1 STRUCTURE UPLOADED

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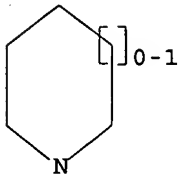
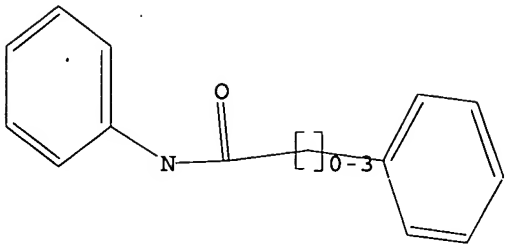
L1 HAS NO ANSWERS
L1 STR





Structure attributes must be viewed using STN Express query preparation.

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=> s l1 ful
FULL SEARCH INITIATED 18:09:53 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 198898 TO ITERATE

100.0% PROCESSED  198898 ITERATIONS                          36391 ANSWERS
SEARCH TIME: 00.00.03

L2        36391 SEA SSS FUL L1

=>
Uploading 10049196.str

L3      STRUCTURE UPLOADED

=> d l3
L3 HAS NO ANSWERS
L3               STR
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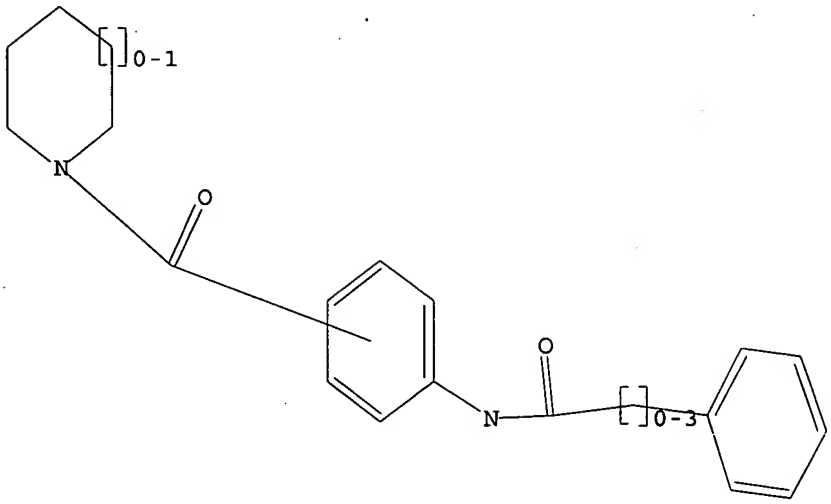

Structure attributes must be viewed using STN Express query preparation.

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=> s l3
SAMPLE SEARCH INITIATED 18:11:21 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   1268 TO ITERATE

 78.9% PROCESSED    1000 ITERATIONS                          35 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        23224 TO    27496
PROJECTED ANSWERS:             488 TO     1286

L4            35 SEA SSS SAM L3

=> s l3 ful
FULL SEARCH INITIATED 18:11:25 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  25799 TO ITERATE

100.0% PROCESSED   25799 ITERATIONS                         675 ANSWERS
SEARCH TIME: 00.00.01

L5           675 SEA SSS FUL L3

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               296.70     296.91

FILE 'CAPLUS' ENTERED AT 18:11:29 ON 14 AUG 2003
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```

FILE COVERS 1907 - 14 Aug 2003 VOL 139 ISS 7
FILE LAST UPDATED: 13 Aug 2003 (20030813/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l5
L6 83 L5

=> file registry

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.42	297.33

FILE 'REGISTRY' ENTERED AT 18:12:21 ON 14 AUG 2003
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STRUCTURE FILE UPDATES: 13 AUG 2003 HIGHEST RN 566135-25-9
DICTIONARY FILE UPDATES: 13 AUG 2003 HIGHEST RN 566135-25-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

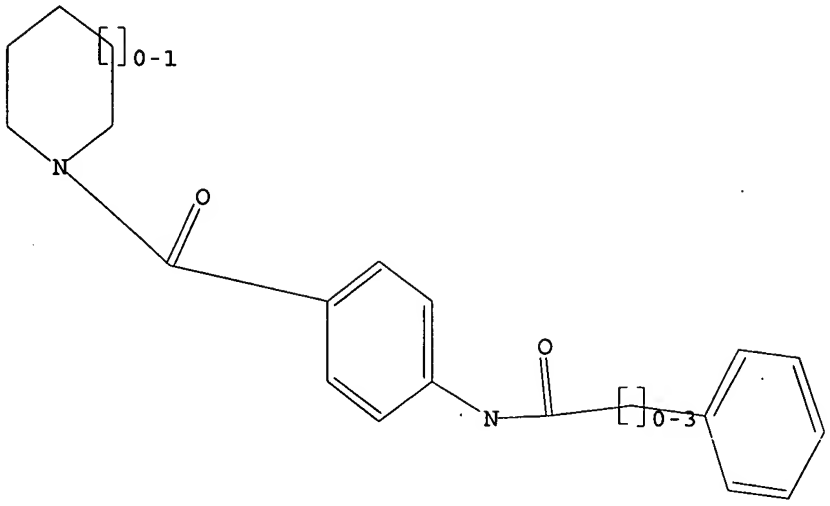
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10049196.str

L7 STRUCTURE UPLOADED

=> d l7
L7 HAS NO ANSWERS
L7 STR



Structure attributes must be viewed using STN Express query preparation.

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=> s l7 ful
FULL SEARCH INITIATED 18:12:43 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   8246 TO ITERATE

100.0% PROCESSED    8246 ITERATIONS                          446 ANSWERS
SEARCH TIME: 00.00.01

L8          446 SEA SSS FUL L7

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               148.15     445.48

FILE 'CAPLUS' ENTERED AT 18:12:47 ON 14 AUG 2003
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 14 Aug 2003  VOL 139 ISS 7
FILE LAST UPDATED: 13 Aug 2003  (20030813/ED)
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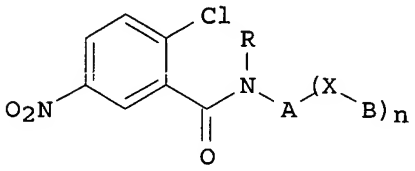
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l8
L9 40 L8

=> d abs bib fhitstr 1-40

L9 ANSWER 1 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN
GI



I

AB The title compds. I [wherein A = (un)substituted Ph, naphthyl, acenaphthenyl, Py, (iso)quinolyl, pyrimidyl, (benzo)furyl, pyranyl, chromanyl, (benzo)thienyl, pyrrolyl, (iso)indolyl, imidazolyl, pyrazolyl, pyridazinyl, pyrazinyl, (iso)oxazolyl, pyrrolidinyl, piperidyl, piperazyl, benzoxazolyl, benzoisooxazolyl, (iso)thiazolyl, benzothiazolyl, or biphenyl; B = (un)substituted aryl, cycloalkyl, or heterocyclyl; R = H or alkyl; X = a bond, O, S, CH2, CO, NH, SO2NH, NHSO2, CONH, NHCO, or OCH2; n = 0-1] and pharmaceutically acceptable salts thereof are prepd. as lipid modulators for treatment of osteoporosis and diabetes. For example, 4-phenylaniline hydrochloride was reacted with 2-chloro-5-nitrobenzoyl chloride in pyridine to afford N-(4-phenylphenyl)-2-chloro-5-nitrobenzamide. The above N-(4-phenylphenyl)-2-chloro-5-nitrobenzamide showed IC50 of 1.9 nM against human PPAR .gamma.. I are useful for the treatment of osteoporosis, and diabetes, etc.
AN 2003:335065 CAPLUS
DN 138:368620
TI Preparation of 2-chloro-5-nitrobenzamides as lipid modulators for treatment of osteoporosis and diabetes
IN Amemiya, Yoshiya; Wakabayashi, Kenji; Takaishi, Sachiko; Kitayama, Ken
PA Sankyo Company, Limited, Japan
SO PCT Int. Appl., 221 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003035602	A1	20030501	WO 2002-JP11068	20021024

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,

PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

JP 2003201271 A2 20030718 JP 2002-310549 20021025

PRAI JP 2001-327189 A 20011025

OS MARPAT 138:368620

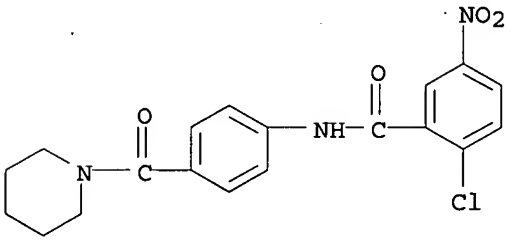
IT **372095-28-8P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of chloro(nitro)benzamides as lipid modulators for treatment of osteoporosis and diabetes)

RN 372095-28-8 CAPLUS

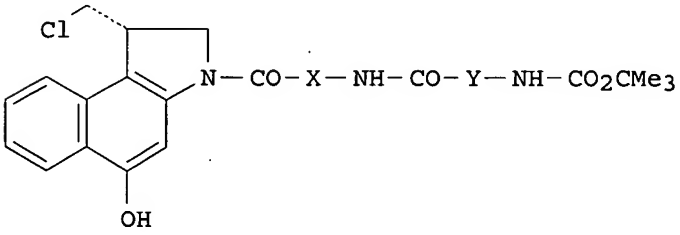
CN Benzamide, 2-chloro-5-nitro-N-[4-(1-piperidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN

GI



I

AB 132 CBI analogs I [X, Y = arylene, heteroarylene] of CC 1065 and the duocarmycins having dimeric monocyclic, bicyclic, and tricyclic heteroaroms. substituents were synthesized by a parallel route. The resultant analogs were evaluated with respect to their catalytic and cytotoxic activities. The relative contribution of the various dimeric monocyclic, bicyclic, and tricyclic heteroaroms. substituents within the DNA binding domain were characterized. Several of the resultant CBI analogs of CC 1065 and the duocarmycins were characterized as having enhanced catalytic and cytotoxic activities and were identified as having utility as anti-cancer agents. Thus, I (X = Y = -4-C6H4-) was prepd. starting from 4-H2NC6H4CO2H and the hydrochloride salt of seco-CBI.

AN 2003:221652 CAPLUS

DN 138:255007

TI Preparation of CBI analogues of CC 1065 and the duocarmycins for

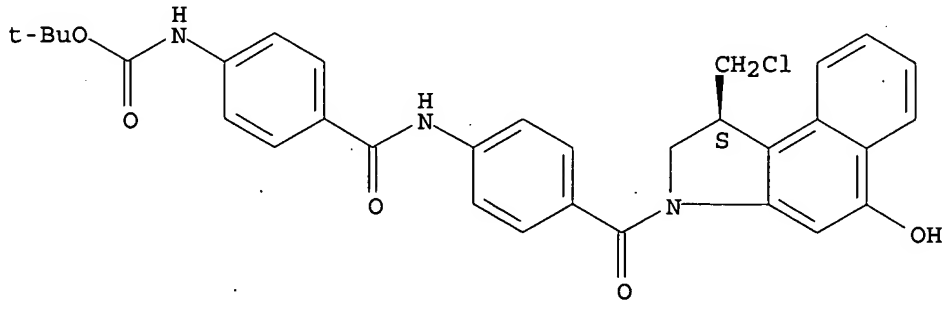
therapeutic use as anticancer agents
IN Boger, Dale L.
PA The Scripps Research Institute, USA
SO PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022806	A2	20030320	WO 2002-US28749	20020909

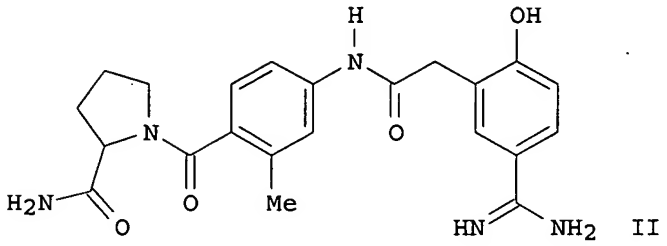
PI W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2001-318179P P 20010907
OS MARPAT 138:255007
IT **372953-17-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and evaluation of tetrahydrocyclopropa[c]benz[e]indol-4-one analogs of CC-1065 and the duocarmycins defining the contribution of the DNA-binding domain)
RN 372953-17-8 CAPLUS
CN Carbamic acid, [4-[[[4-[[(1S)-1-(chloromethyl)-1,2-dihydro-5-hydroxy-3H-benz[e]indol-3-yl]carbonyl]phenyl]amino]carbonyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 3 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN
GI



II

AB Title compds., e.g., R1Z1NHCOZ2R2 [I; R1 = 3- or 4-pyrrolidinylcarbonyl, 3- or 4-piperidinylcarbonyl, benzoyl, pyridinylcarbonyl, etc.; R2 = Z3R3; R3 = aminocarbonyl or C(:NH)NH2; Z1 = (un)substituted phenylene; Z2 = (un)substituted CH2; Z3 = 1,3-phenylene, 2-hydroxy-1,5-phenylene-, etc.] were prepd. Thus, tert-Bu 4-amino-2-methylbenzoate was amidated by 5-cyano-2-benzyloxyphenylacetic acid and the sapond. product amidated by L-prolinamide to give, in 2 addnl. steps, title compd. L-II. Data for biol. activity of title compds. were given.

AN 2002:615560 CAPLUS

DN 137:169322

TI Preparation of N-[(pyrrolidinocarbonyl)phenyl]amidinophenylacetamides and analogs as factor Xa inhibitors

IN Ries, Uwe-Joerg; Priepke, Henning; Nar, Herbert; Stassen, Jean-Marie; Wienen, Wolfgang

PA Boehringer Ingelheim Pharma K.-G., Germany

SO PCT Int. Appl., 87 pp.
CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002062748	A1	20020815	WO 2002-EP827	20020126
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10104598	A1	20020808	DE 2001-10104598	20010202
	DE 10136434	A1	20030213	DE 2001-10136434	20010726
PRAI	DE 2001-10104598	A	20010202		
	DE 2001-10136434	A	20010726		

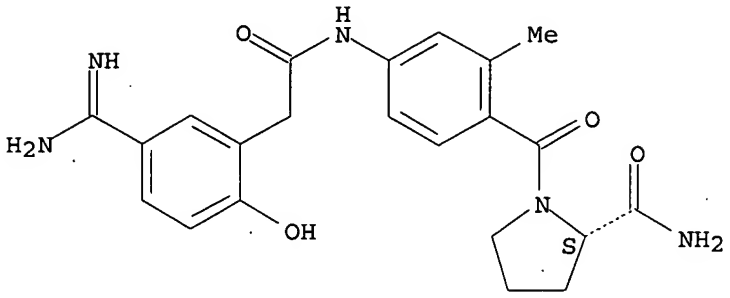
OS MARPAT 137:169322

IT **445003-31-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-[(pyrrolidinocarbonyl)phenyl]amidinophenylacetamides and analogs as factor Xa inhibitors)

RN 445003-31-6 CAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[4-[[[5-(aminoiminomethyl)-2-hydroxyphenyl]acetyl]amino]-2-methylbenzoyl]-, monohydrochloride, (2S)-(9CI) (CA INDEX NAME)

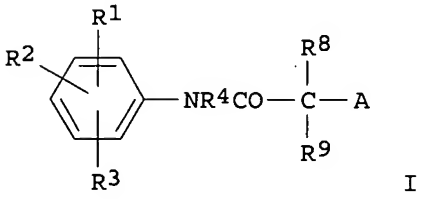
Absolute stereochemistry.



● HCl

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN
GI



I

AB Title compds. [I; R1 = (NH-interrupted) (substituted) C3-7 cycloalkylcarbonyl etc.; R2 = H, F, Cl, Br, fluorinated alkyl, OH, alkoxy; R3 = H, alkyl; R4 = H, CO2H-substituted alkyl; A = substituted Ph, naphthyl; R8, R9 = H, alkyl], and 2-(5-amidino-2-hydroxyphenyl)-N-[3-chloro-4-(pyrrolidin-1-yl)phenyl]acetamide and salts thereof, were prepd. Thus, a mixt. of 3-methyl-4-(pyrrolidin-1-yl)carbonylaniline and Et3N in THF was dropwise treated with 2-(2-benzyloxy-5-cyanophenyl)-2-methylpropanoyl chloride (prepn. given) in THF for 14 h to give 50% 2-(2-benzyloxy-5-cyanophenyl)-N-[3-methyl-4-(pyrrolidin-1-ylcarbonyl)phenyl]-2,2-dimethylacetamide which was treated with HCl/(NH4)2CO3 and H2/Pd in MeOH to give 77% 2-(5-amidino-2-hydroxyphenyl)-N-[3-methyl-(4-pyrrolidin-1-ylcarbonyl)phenyl]-2,2-dimethylacetamide hydrochloride. Several I inhibited factor Xa with IC50 = 0.028-0.320 .mu.M.

AN 2002:591536 CAPLUS
DN 137:140430
TI Preparation of 2-phenyl-N-(heteroaryl)acetamides as anticoagulants
PA Boehringer Ingelheim Pharma K.-G., Germany
SO Ger. Offen., 22 pp.
CODEN: GWXXBX

DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 10104598	A1	20020808	DE 2001-10104598	20010202
	US 2002151595	A1	20021017	US 2002-51412	20020117
	WO 2002062748	A1	20020815	WO 2002-EP827	20020126

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI DE 2001-10104598 A 20010202
US 2001-269043P P 20010216
DE 2001-10136434 A 20010726

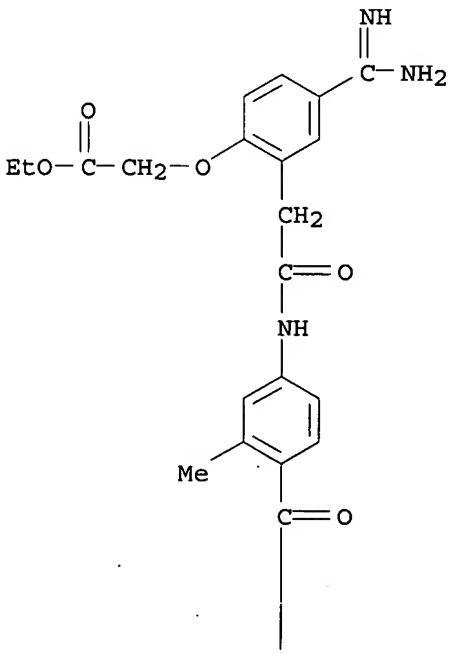
OS MARPAT 137:140430

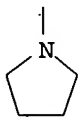
IT **445003-68-9P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of phenyl(heteroaryl)acetamides as anticoagulants)

RN 445003-68-9 CAPLUS

CN Acetic acid, [4-(aminoiminomethyl)-2-[2-[[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]amino]-2-oxoethyl]phenoxy]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

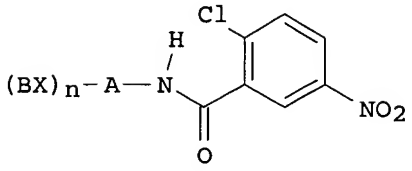
PAGE 1-A





● HCl

L9 ANSWER 5 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN
GI



I

AB The title compds. I [A represents Ph, etc.; B represents aryl, etc.; X represents oxygen, etc.; and n is 0 or 1] are prepd. I are remedies for involutional osteoporosis which inhibit the accelerated differentiation of adipocytes and promote the formation and differentiation of osteoblasts from stem cells; I are also remedies for diabetes. In an in vitro test for PPAR .gamma. modulating activity, N-[4-(4-methylpiperazin-1-ylcarbonyl)phenyl]-(2-chloro-5-nitrophenyl)carboxamide showed IC50 value of 0.6 nM.

AN 2001:816614 CAPLUS
DN 135:357944
TI Preparation of nitrophenylcarboxamide derivatives as peroxisome proliferator-activated receptor (PPAR) .gamma. modulators
IN Amemiya, Yoshiya; Wakabayashi, Kenji; Takaishi, Sachiko; Fukuda, Chie
PA Sankyo Company, Limited, Japan
SO PCT Int. Appl., 186 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001083427	A1	20011108	WO 2001-JP3655	20010426
	W: AU, BR, CA, CN, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, RU, US, ZA				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	AU 2001052612	A5	20011112	AU 2001-52612	20010426
	EP 1277729	A1	20030122	EP 2001-925984	20010426
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
	BR 2001010428	A	20030617	BR 2001-10428	20010426
	JP 2002332266	A2	20021122	JP 2001-130983	20010427

	US 2003134859	A1	20030717	US 2002-278387	20021023
	NO 2002005142	A	20021227	NO 2002-5142	20021025
PRAI	JP 2000-129565	A	20000428		
	JP 2001-60366	A	20010305		
	WO 2001-JP3655	W	20010426		

OS MARPAT 135:357944

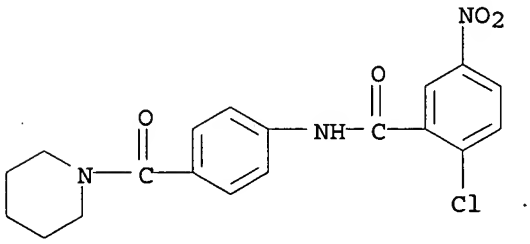
IT **372095-28-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of nitrophenylcarboxamide derivs. as PPAR .gamma. modulators)

RN 372095-28-8 CAPLUS

CN Benzamide, 2-chloro-5-nitro-N-[4-(1-piperidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN

AB The soln.-phase, parallel synthesis and evaluation of a library of 132 (+)-1,2,9,9a-tetrahydrocyclopropa[c]benz[e]indol-4-one (CBI) analogs of CC-1065 and the duocarmycins contg. dimeric monocyclic, bicyclic, and tricyclic heteroarom. replacements for the DNA-binding domain are described. This systematic study revealed clear trends in the structural requirements for observation of potent cytotoxic activity and DNA alkylation efficiency, the range of which spans a magnitude of .gtoreq.10 000-fold. Combined with related studies, these results highlight that the role of the DNA-binding domain goes beyond simply providing DNA-binding selectivity and affinity (10-100-fold enhancement in properties), consistent with the proposal that it contributes significantly to catalysis of the DNA alkylation reaction accounting for as much as an addnl. 1000-fold enhancement in properties.

AN 2001:667407 CAPLUS

DN 135:357786

TI Parallel Synthesis and Evaluation of 132 (+)-1,2,9,9a-Tetrahydrocyclopropa[c]benz[e]indol-4-one (CBI) Analogues of CC-1065 and the Duocarmycins Defining the Contribution of the DNA-Binding Domain

AU Boger, Dale L.; Schmitt, Harald W.; Fink, Brian E.; Hedrick, Michael P.

CS Department of Chemistry and The Skaggs Institute for Chemical Biology, The Scripps Research Institute, La Jolla, CA, 92037, USA

SO Journal of Organic Chemistry (2001), 66(20), 6654-6661
CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

IT **372953-17-8P**

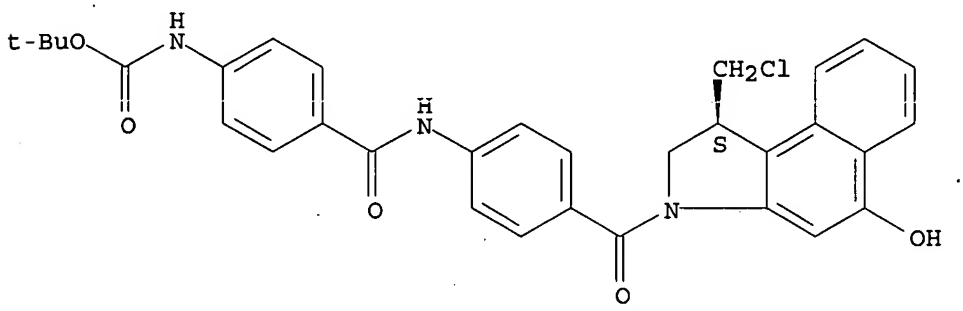
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or

effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and evaluation of tetrahydrocyclopropa[c]benz[e]indol-4-one analogs of CC-1065 and the duocarmycins defining the contribution of the DNA-binding domain)

RN 372953-17-8 CAPLUS

CN Carbamic acid, [4-[[[4-[[(1S)-1-(chloromethyl)-1,2-dihydro-5-hydroxy-3H-benz[e]indol-3-yl]carbonyl]phenyl]amino]carbonyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

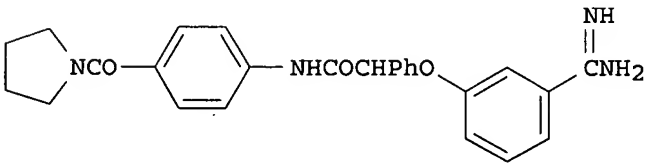
Absolute stereochemistry.



RE.CNT 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN

GI



I

AB A series of glycolic and mandelic acid derivs. was synthesized and investigated for their factor Xa inhibitory activity. These analogs are highly potent and selective inhibitors against fXa. In a rabbit deep vein thrombosis model, compd. I showed significant antithrombotic effects (81% inhibition of thrombus formation) at 1.1 .mu.M plasma concn. following i.v. administration.

AN 2001:628986 CAPLUS

DN 135:371261

TI Design and synthesis of glycolic and mandelic acid derivatives as factor Xa inhibitors

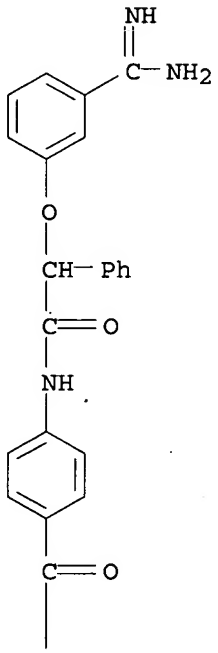
AU Su, T.; Wu, Y.; Doughan, B.; Kane-Maguire, K.; Marlowe, C. K.; Kanter, J. P.; Woolfrey, J.; Huang, B.; Wong, P.; Sinha, U.; Park, G.; Malinowski, J.; Hollenbach, S.; Scarborough, R. M.; Zhu, B.-Y.

CS COR Therapeutics, Inc., South San Francisco, CA, 94080, USA

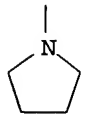
SO Bioorganic & Medicinal Chemistry Letters (2001), 11(17), 2279-2282
CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.
DT Journal
LA English
IT **308288-70-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(glycolic and mandelic acid derivs. as factor Xa inhibitors)
RN 308288-70-2 CAPLUS
CN Benzeneacetamide, .alpha.-[3-(aminoiminomethyl)phenoxy]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

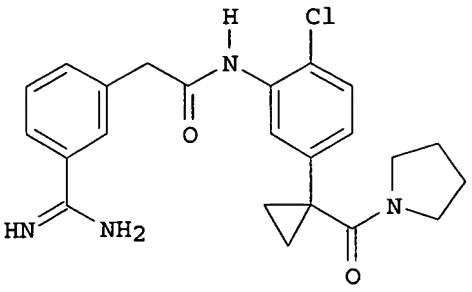


PAGE 2-A



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN
GI



II

AB R1Z(CH2)mNR4CO(CH2)nZ1R5 [I; R1 = (un)substituted alkyl, -amino, cycloalkyleneimino, alkoxyalkyl, etc.; R4 = H or (carboxy)alkyl; R5 = cyano or (alkyl)amidino; Z = (un)substituted (hetero)arylene; Z1 = (un)substituted phenylene; 1 of m,n = 0 and the other = 1] were prepd. Thus, 1-(4-chlorophenyl)cyclopropanecarboxylic acid was converted in 5 steps to title compd. II. Data for biol. activity of I were given.

AN 2001:115108 CAPLUS

DN 134:162832

TI Preparation of amidinobenzamides and analogs as factor Xa inhibitors

IN Ries, Uwe; Priepke, Henning; Heckel, Armin; Nar, Herbert; Wienen, Wolfgang; Stassen, Jean Marie

PA Boehringer Ingelheim Pharma K.-G., Germany

SO PCT Int. Appl., 80 pp.
CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001010823	A1	20010215	WO 2000-EP7457	20000802
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	DE 19937494	A1	20010208	DE 1999-19937494	19990807
	DE 10025663	A1	20011129	DE 2000-10025663	20000524
	EP 1206446	A1	20020522	EP 2000-956375	20000802
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	JP 2003506432	T2	20030218	JP 2001-515290	20000802
PRAI	DE 1999-19937494	A	19990807		
	DE 2000-10025663	A	20000524		
	WO 2000-EP7457	W	20000802		

OS MARPAT 134:162832

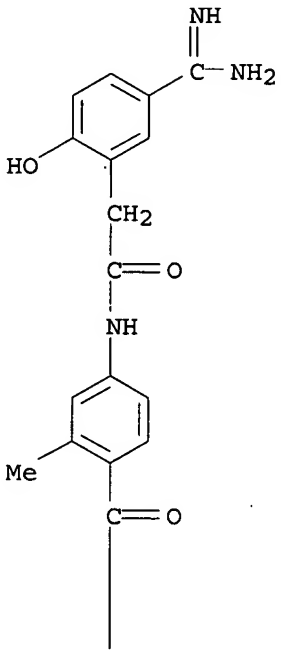
IT **325125-04-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amidinobenzamides and analogs as factor Xa inhibitors)

RN 325125-04-0 CAPLUS

CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-N-[3-methyl-4-(1-

pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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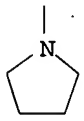
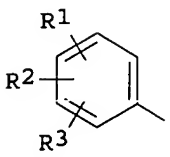


● HCl

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 9 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN
GI



I

AB Title compds. [I; Ar = (substituted) phenylene, naphthylene, thienylene, thiazolylene, pyridinylene, pyrazinylene, etc.; R1 = H, (substituted) alkyl, 1-(cycloalkyliminocarbonyl)cycloalkyl, (substituted) Ph, etc.; R2 = H, halo, OH, alkyl, alkoxy; R3 = H, alkyl; R4 = H, alkyl, carboxyalkyl; R5 = cyano, (alkyl-substituted) amidino, etc.; m, n = 0-1] were prepd. as antithrombotics. Thus, 5-cyano-2-methoxyphenylacetic acid (prepn. given) in DMF was stirred with N,N-carbonylbisimidazole for 10 min. and after addn. of 5-(pyrrolidin-1-ylcarbonyl)-2-methylaniline the reaction mixt. was stirred 4 h at 80.degree. to give 2-(5-cyano-methoxyphenyl)-N-[2-methyl-5-(1-pyrrolidin-1-carbonyl)cyclopropylphenyl]acetamide. The latter in CH2Cl2 was treated dropwise with BBr3 at -35.degree. to 25.degree. to give the 2-(2-hydroxyphenyl) deriv., which was stirred with HCl and (NH4)2CO3 to give 80% 2-(5-amidino-2-hydroxyphenyl)-N-[2-methyl-5-(1-pyrrolidin-1-carbonyl)cyclopropylphenyl]acetamide hydrochloride. Tested I inhibited Factor Xa with IC50 = 0.03-0.85 .mu.M.

AN 2001:93917 CAPLUS

DN 134:162914

TI Preparation of (amidinophenyl)-N-(pyrrolidinylcarbonylcyclopropylphenyl)acetamides and -benzamides as Factor Xa inhibitors.

IN Ries, Uwe; Priepke, Henning; Heckel, Armin; Nar, Herbert; Wienen, Wolfgang; Stassen, Jean Marie

PA Boehringer Ingelheim Pharma K.-G., Germany

SO Ger. Offen., 22 pp.
CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19937494	A1	20010208	DE 1999-19937494	19990807
	WO 2001010823	A1	20010215	WO 2000-EP7457	20000802
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1206446	A1	20020522	EP 2000-956375	20000802
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	JP 2003506432	T2	20030218	JP 2001-515290	20000802
PRAI	DE 1999-19937494	A	19990807		
	DE 2000-10025663	A	20000524		
	WO 2000-EP7457	W	20000802		

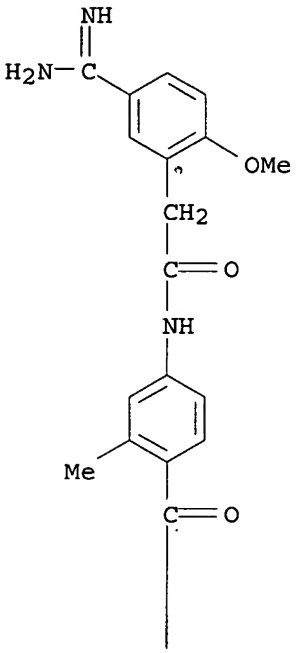
OS CASREACT 134:162914; MARPAT 134:162914

IT **325125-08-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of (amidinophenyl)-N-(pyrrolidinylcarbonylcyclopropylphenyl)acetamides and -benzamides as antithrombotics)

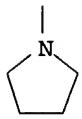
RN 325125-08-4 CAPLUS

CN Benzeneacetamide, 5-(aminoiminomethyl)-2-methoxy-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

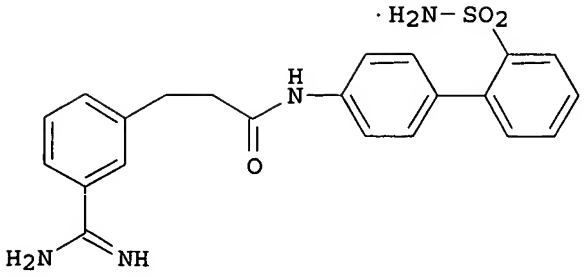


PAGE 2-A



● HCl

L9 ANSWER 10 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN
GI



I

AB AYDEGJZL [wherein A = (cyclo)alkyl, NR2R3, C(:N2)NR2R3, NR2C"(:NR2)NR2R3, C(:NR2)R4, and NR2C(:NR2)R3, (un)substituted Ph, naphthyl, or heterocyclic ring; R2 and R3 = independently H, (cyclo)alkyl, alkenyl, alkynyl, alkylcycloalkyl, or (un)substituted amino, alkoxy, carboxy, alkylphenyl, alkylnaphthyl, etc.; Y = bond, CO, NR4, CONR4, NR4CO, SO2, O, SO2NR4, NR4SO2, C(:NR4), CS, CH2, or CH2NR4; R4 = H, alkyl, alkenyl, alkynyl, (alkyl)cycloalkyl, or (un)substituted alkylphenyl or alkylnaphthyl; D = bond or (un)substituted Ph, naphthyl, or heterocyclic ring; E = NR5CO, CONR5, NR5CONR6, SO2NR5, NR5SO2NR6, or NR5SO2NR6CO; R5 and R6 = as defined for R4 or (un)substituted alkylheteroaryl or carboxyalkyl; G = (un)substituted methylene or ethylene; J = bond or (un)substituted methylene or ethylene; Z = (un)substituted Ph, naphthyl, or heterocyclic ring; L = H, CN, CONR12NR13, (CH2)0-2NR12R13, C(:NR12)NR12R13, NR12R13, OR12, NR12C(:NR12)NR12N13, or NR12C(:N12)R13; R12 and R13 = independently H, alkyl, or (un)substituted alkoxy, amino, alkylphenyl, alkylnaphthyl, or carboxyalkyl] were prepd. as potent and highly selective inhibitors of factor Xa for the prevention or treatment of coagulation disorders (no data). For example, Me (Z)-3-cyanocinnamate was coupled with 4-(2-tert-butylaminosulfonylphenyl)aniline (prepn. of starting materials given) in the presence of AlMe3 in CH2Cl2 at room temp. to give the acrylamide (98%). The nitrile was converted to the amidine and the sulfonamide deprotected (46%) by bubbling HCl gas through a soln. of the intermediate in MeOH, followed by refluxing with NH2OAc in MeOH for 0.5 h. Finally, the acrylamide was hydrogenated using Pd/C in MeOH to afford I in 99% yield. Compds. of the invention show selectivity for factor Xa vs. other proteases of the coagulation cascade or the fibrinolytic cascade, and are useful as diagnostic reagents as well as antithrombotic agents (no data).

AN 2000:842108 CAPLUS

DN 134:29207

TI Preparation of benzamidines and arylamidines as inhibitors of factor Xa

IN Song, Yonghong; Clizbe, Lane; Marlowe, Charles; Scarborough, Robert M.; Su, Ting; Zhu, Bing-Yan; Kanter, James

PA Cor Therapeutics, Inc., USA

SO PCT Int. Appl., 137 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000071512	A1	20001130	WO 2000-US14207	20000524
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1189879	A1	20020327	EP 2000-936235	20000524
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRAI	US 1999-135819P	P	19990524		
	WO 2000-US14207	W	20000524		

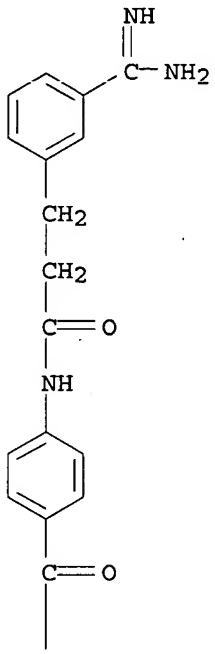
OS MARPAT 134:29207

IT **310423-87-1P**, N-[4-(1-Pyrrolidinylcarbonyl)phenyl]-3-(3-

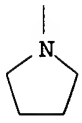
amidinophenyl)propionamide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzamidine and arylamidine factor Xa inhibitors from benzonitriles and arylnitriles)

RN 310423-87-1 CAPLUS
CN Benzenepropanamide, 3-(aminoiminomethyl)-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

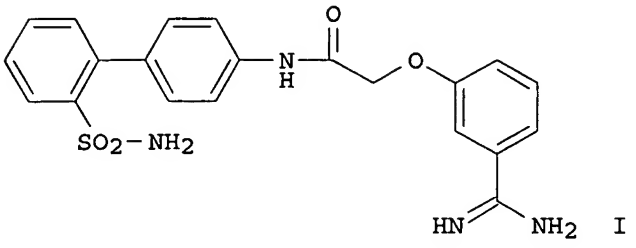


PAGE 2-A



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 11 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN
GI



I

AB AYDEGJZL [wherein A = (cyclo)alkyl, NR2R3, C(:NR2)NR2R3, C(:NR2)R3, NR3C(:NR2)NR2NR3, (un)substituted Ph, naphthyl, or heterocyclic ring; R2 and R3 = independently H, (cyclo)alkyl, alkenyl, alkynyl, alkylcyclalkyl, or (un)substituted alkylphenyl or alkylnaphthyl; Y = bond, bivalent alkyl, alkenyl, or alkynyl, CH2, CO, C(:NR4), NR4, NR4CH2, CH2NR4, CONR4, NR4CO, SO2, O, SO2NR4, or NR4SO2; R4 = H, alkyl, alkenyl, alkynyl, or (un)substituted aklylaryl or aklyheterocyclyl; D = (un)substituted Ph, naphthyl, or heterocyclic ring; E = NR5CO, CONR5, NR5, or NR5(CH2)0-2; R5 = H, alkyl, alkyl(hetero)aryl, or (un)substituted carboxyaklyl or carboxamidoalkyl; G = (un)substituted methylene or ethylene; J = O, OCHR11, S, SCHR11, S(O), SO2, S(O)CHR11, SO2CHR11; R11 = H, alkyl, or (un)substituted alkyl(hetero)aryl; Z = (un)substituted Ph, naphthyl, or heterocyclic ring; L = H, CN, CONR12NR13, (CH2)0-2NR12R13, C(:NR12)NR12R13, NR12R13, OR12, NR12C(:NR12)NR12N13, or NR12C(:N12)R13; R12 and R13 = independently H, OR14, NR14R15, alkyl, (un)substituted alkylphenyl, alkylnaphthyl, or carboxyalkyl; R14 and R15 = independently H, alkyl, (un)substituted alkyl(hetero)aryl, or together with the attached N forms a heterocyclic ring] were prepd. as potent and highly selective inhibitors of factor Xa for the prevention or treatment of coagulation disorders (no data). For example, 2-(3-cyanophenoxy)acetic acid was coupled with {[2-(4-aminophenyl)phenyl]sulfonyl}(tert-butyl)amine in the presence of BOP in DMF to give the acetamide intermediate. Treatment with NH2OH.bul.HCl and TEA in EtOH, followed by addn. of AcOH, redn. using Pd/C in MeOH, and deprotection with TFA afforded the benzamidine (I). Compds. of the invention show selectivity for factor Xa vs. other proteases of the coagulation cascade or the fibrinolytic cascade, and are useful as diagnostic reagents as well as antithrombotic agents (no data).

AN 2000:842106 CAPLUS

DN 134:29205

TI Preparation of benzamidines and arylamidines as inhibitors of factor Xa

IN Su, Ting; Zhu, Bing-Yan; Kane-Maguire, Kim; Scarborough, Robert M.; Zhang, Penglie

PA Cor Therapeutics, Inc., USA

SO PCT Int. Appl., 144 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000071510	A2	20001130	WO 2000-US14195	20000524
	WO 2000071510	A3	20010830		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW,

AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1183235 A2 20020306 EP 2000-937700 20000524
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

JP 2003500385 T2 20030107 JP 2000-619767 20000524

PRAI US 1999-135849P P 19990524
WO 2000-US14195 W 20000524

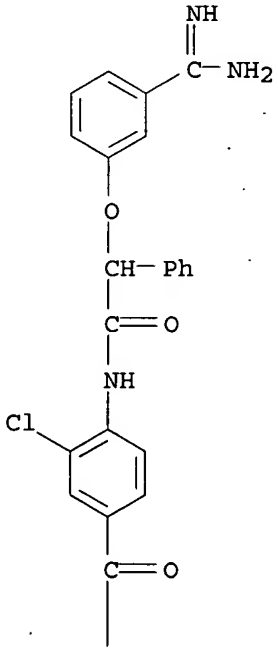
OS MARPAT 134:29205

IT **489427-81-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use)
(prepn. of benzamidine and arylamidine factor Xa inhibitors by amidation of cyanoaryl-substituted carboxylic acids with amines and subsequent conversion of nitriles to amidines)

RN 489427-81-8 CAPLUS

CN Benzeneacetamide, .alpha.-[3-(aminoiminomethyl)phenoxy]-N-[2-chloro-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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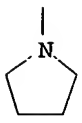


L9 ANSWER 12 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN

AB ABDECG1:CG2KL [A = (substituted) Ph, naphthyl, (arom.) heterocyclyl; B = bond, CO, NR3, CR3aR3b, CONR3, SO2, O, SO2NR, NR3SO2, etc.; R3, R3a, R3b = H, alkyl, alkenyl, alkynyl, cycloalkyl, alkylphenyl, etc.; D = (substituted) Ph, heteroaryl; E = bond, CO, CONR5, SO2NR5, CH2SO2, etc.; R5 = H, OH, alkoxy, alkyl, alkenyl, alkynyl, cycloalkyl, alkylphenyl, etc.; K = (substituted) Ph, naphthyl, mono- or bicyclic heterocyclyl; L = H, cyano, CONR12R13, (CH2)nNR12R13, etc.; n = 0-2; R12, R13 = H, OR14, NR14R15, alkyl, (substituted) alkylphenyl, alkylnaphthyl, etc.; R14, R15 = H, alkyl, alkoxycarbonyl, CONH2, alkyl, etc.; G1, G2 = H, halo, alkyl, haloalkyl, cyano, NO2, alkenyl, alkynyl, cycloalkyl, cyanoalkyl, etc.], were prepd. as inhibitors of Factor Xa (no data). Thus, [[2-(4-aminophenyl)phenyl]sulfonyl]tert-butylamine (prepn. given) in CH2Cl2 was treated with Me3Al in hexane and then with Me 3-(3-cyanophenyl)acrylate to give 19% N-[4-[(2-tert-butylaminosulfonyl)phenyl]phenyl]-3-(3-cyanophenyl)acrylamide. The latter in MeOH was treated with HCl to give a residue which was refluxed with NH4OAc in MeOH to give 35% (2E)-N-[4-[(2-aminosulfonyl)phenyl]phenyl]-3-(3-amidinophenyl)-3-acrylamide.

AN 2000:573773 CAPLUS

DN 133:177025

TI Preparation of arylacrylamides and related compounds as inhibitors of Factor Xa.

IN Song, Yonghong; Zhu, Bing-yan; Scarborough, Robert M.; Clizbe, Lane; Jia, Zhaozhong Jon; Su, Ting; Teng, Willy

PA Cor Therapeutics Inc., USA

SO PCT Int. Appl., 159 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000047554	A2	20000817	WO 2000-US3405	20000211
	WO 2000047554	A3	20010809		
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1159264	A2	20011205	EP 2000-917623	20000211
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	US 6399627	B1	20020604	US 2000-501371	20000211
	JP 2002536432	T2	20021029	JP 2000-598475	20000211
	US 6545054	B1	20030408	US 2000-501370	20000211
PRAI	US 1999-119640P	P	19990211		
	WO 2000-US3405	W	20000211		

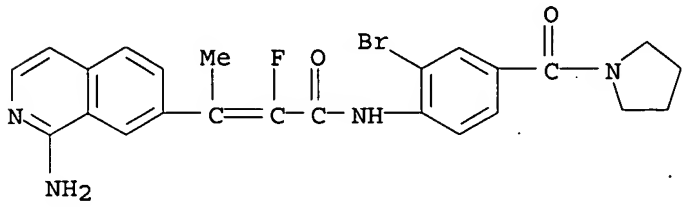
OS MARPAT 133:177025

IT **288308-31-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylacrylamides and related compds. as inhibitors of Factor

Xa)

RN 288308-31-6 CAPLUS

CN 2-Butenamide, 3-(1-amino-7-isoquinolinyl)-N-[2-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]-2-fluoro- (9CI) (CA INDEX NAME)



L9 ANSWER 13 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN

AB The present study was undertaken to evaluate whether a novel series of 2,6-diaza-5-oxobicyclo[5.4.0]undeca-1(7),8,10-triene derivs. exhibited antagonistic activity for vasopressin V1 and V2 receptors. Most of these compds. were synthesized and showed a high affinity potential for V2 receptor and low to moderate affinity potential for V1 receptor. The most potent and V2-selective compd., 4'-methyl-N-[4-[[2,3,4,5-tetrahydro-5-[2-(4-methyl-1-piperazinyl)-2-oxoethyl]-4-oxo-1H-1,5-benzodiazepin-1-yl]carbonyl]phenyl]-[1,1'-biphenyl]-2-carboxamide (I), exhibited IC50's of 2.9 nM for the V2 receptor and 200 nM for the V1 receptor, resp. When administered orally to rat, I showed an approx. 18-fold increased urine vol. in comparison with control rat.

AN 1999:270792 CAPLUS

DN 131:18988

TI Synthesis and characterization of orally active nonpeptide vasopressin V2 receptor antagonists

AU Ohkawa, Takehiko; Zenkoh, Tatsuya; Tomita, Masayuki; Hosogai, Naomi; Hemmi, Keiji; Tanaka, Hirokazu; Setoi, Hiroyuki

CS Exploratory Research Laboratories, Fujisawa Pharmaceutical Co., Ltd., Tsukuba, 300-2698, Japan

SO Chemical & Pharmaceutical Bulletin (1999), 47(4), 501-510
CODEN: CPBTAL; ISSN: 0009-2363

PB Pharmaceutical Society of Japan

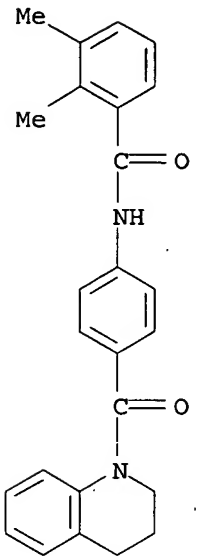
DT Journal

LA English

IT **137976-82-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of of orally active nonpeptide vasopressin V2 receptor antagonists)

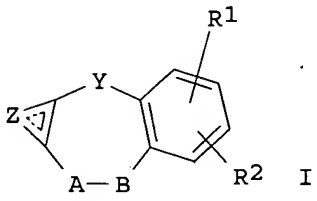
RN 137976-82-0 CAPLUS

CN Benzamide, N-[4-[(3,4-dihydro-1(2H)-quinolinyl)carbonyl]phenyl]-2,3-dimethyl- (9CI) (CA INDEX NAME)



RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 14 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN
GI



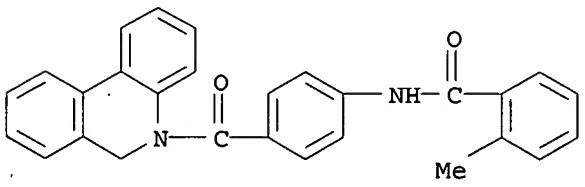
I

AB This invention relates to title compds. I wherein: Y = e.g., (CH2)n, O, S wherein n is an integer from 0-2; A-B is (CH2)mNR3 or NR3(CH2)m , wherein m is an integer from 1-2, provided that when Y is (CH2)n and n=2, m may also be zero and when n is zero, m may also be three, provided also that when Y is (CH2)n and n is 2, m may not also be two; R1 = e.g., H, halo, OH; R2 = e.g., H, halo, OH; R3 is the moiety COAr where Ar is selected from, e.g., substituted Ph, (un)substituted 5-indolyl; the arom. Z ring represents, e.g., fused (un)substituted Ph, 5- or 6-membered atom. heterocycle, that exhibit antagonist activity at V1 and/or V2 receptors and exhibit in vivo vasopressin antagonist activity, methods for using such compds. in treating diseases characterized by excess renal reabsorption of water, and processes for prepg. such compds. I are also antagonists of the peptide hormone oxytocin and are useful in the control of premature birth. Thus, e.g., acylation of 6,11-dihydro-5H-dibenz[b,e]azepine (prepn. given) with 4-[(2-methylbenzoyl)amino]benzoyl chloride (prepn. given) afforded N-[4-[(6,11-dihydro-5H-dibenz[b,e]azepin-5-yl)carbonyl]phenyl]-2-methylbenzamide which exhibited binding to rat hepatic V1 receptors and rat kidney medullary V2 receptors with IC50 = 0.15 and 0.068 .mu.M, resp., and oxytocin receptor binding with IC50 = 2.9 .mu.M.

AN 1999:104514 CAPLUS
DN 130:153583
TI Tricyclic benzazepine oxytocin and vasopressin antagonists
IN Albright, Jay Donald; Sum, Fuk-Wah
PA American Cyanamid Company, USA
SO U.S., 110 pp., Cont.-in-part of U.S. Ser. No. 254,823.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 10

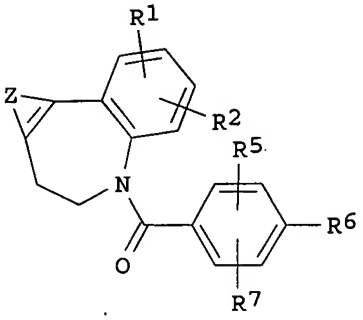
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5869483	A	19990209	US 1996-639014	19960424
	US 5512563	A	19960430	US 1994-254823	19940613
	NZ 299340	A	20000825	NZ 1994-299340	19940728
	US 5693635	A	19971202	US 1996-662546	19960613
	US 5834461	A	19981110	US 1997-874314	19970613
	US 5843952	A	19981201	US 1997-889858	19970708
PRAI	US 1993-100003	B2	19930729		
	US 1994-254823	A2	19940613		
	NZ 1994-264116	A1	19940728		
	US 1996-639014	A2	19960424		
	US 1996-663400	B1	19960613		

OS MARPAT 130:153583
IT **169879-15-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(tricyclic benzazepine oxytocin and vasopressin antagonists)
RN 169879-15-6 CAPLUS
CN Benzamide, 2-methyl-N-[4-(5(6H)-phenanthridinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

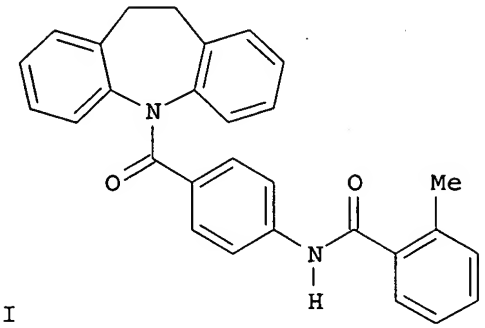


RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 15 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN
GI



I



II

AB The title compds. [I; R1 = H, Cl, F, etc.; R2 = H, Cl, Br, etc.; R1R2 = methylenedioxy, ethylenedioxy; R5 = H, Me, Et, etc.; R6 = N(Ra)COAr', CON(Ra)Ar', etc. (Ra = H, Me, Et; Ar' = (un)substituted Ph, thienyl, etc.); R7 = H, Me, Et, etc.; Z = (un)substituted fused oxazole, Ph], which exhibit antagonist activity at V1 and/or V2 receptors and in vivo vasopressin antagonist activity as well as antagonist activity at oxytocin receptors, and as such useful in treating diseases characterized by excess renal reabsorption of water (e.g., congestive heart failure, nephrotic syndrome, hyponatremia, coronary vasospasm, cardiac ischemia, renal vasospasm, liver cirrhosis, brain edema, cerebral ischemia, cerebral hemorrhage-stroke), were prepd. Thus, reaction of 4-[(2-methylbenzoyl)amino]benzoyl chloride with 10,11-dihydro-5H-dibenz[b,f]azepine in the presence of 4-(dimethylamino)pyridine in pyridine at 80.degree. for 18 h followed by the addn. of NaH afforded the compd. II which showed IC50 of 2.5 .mu.M against rat hepatic V1 receptor binding and IC50 of 0.86 .mu.M against rat kidney medullary V2 receptor binding.

AN 1998:366893 CAPLUS

DN 129:54301

TI Preparation of tricyclic benzazepine vasopressin antagonists

IN Albright, Jay Donald; Reich, Marvin Fred

PA American Cyanamid Co., USA

SO U.S., 103 pp., Cont.-in-part of U. S. 5,512,563.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 10

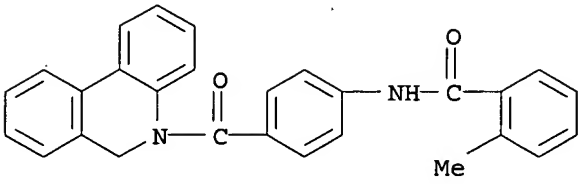
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5760031	A	19980602	US 1996-637911	19960425
	US 5512563	A	19960430	US 1994-254823	19940613
	NZ 299340	A	20000825	NZ 1994-299340	19940728
PRAI	US 1993-100003	B2	19930729		
	US 1994-254823	A2	19940613		
	NZ 1994-264116	A1	19940728		

OS MARPAT 129:54301

IT **169879-15-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tricyclic benzazepine vasopressin antagonists)

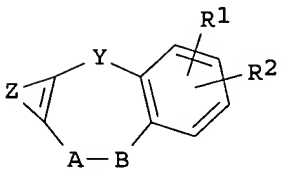
RN 169879-15-6 CAPLUS

CN Benzamide, 2-methyl-N-[4-(5(6H)-phenanthridinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 16 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN
GI



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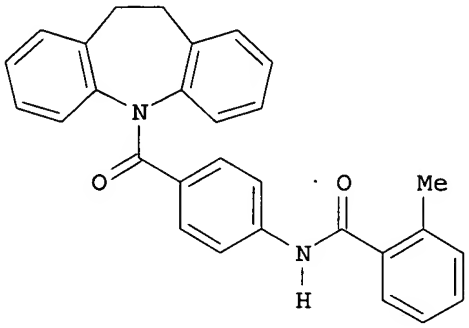


II

AB The title compds. [I; Y = a bond; AB = (CH2)2N(R3); R1 = H, halo, OH, etc.; R2 = H, halo, OH, etc.; R1R2 = methylenedioxy, ethylenedioxy; R3 = C(O)Ar (wherein Ar = (un)substituted Ph, thienyl, etc.); Z = (un)substituted fused benzo, thiazole, etc.], which exhibit antagonistic activity at V1 and/or V2 receptors, in vivo vasopressin antagonist activity, and antagonistic activity at oxytocin receptors, and therefore useful in treating diseases characterized by excess renal reabsorption of water such as congestive heart failure, nephrotic syndrome, hyponatremia, coronary vasospasm, cardiac ischemia, liver cirrhosis, brain edema, cerebral ischemia, or cerebral hemorrhage-stroke, were prepd. Thus, reaction of 4-[(2-methylbenzoyl)amino]benzoyl chloride with 10,11-dihydro-5H-dibenz[b,f]azepine in the presence of 4-(dimethylamino)pyridine in pyridine afforded the title compd. II which showed IC50 of 2.5 .mu.M against rat hepatic V1 receptors binding and IC50 of 0.86 .mu.M against rat kidney medullary V2 receptors binding.
AN 1998:289524 CAPLUS
DN 128:321569
TI Preparation of tricyclic benzazepine vasopressin antagonists
IN Albright, Jay Donald; Reich, Marvin Fred
PA American Cyanamid Co., USA
SO U.S., 101 pp., Cont.-in-part of U.S. Ser. No. 5,512,563.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 10
PATENT NO. KIND DATE APPLICATION NO. DATE

PI	US 5747487	A	19980505	US 1996-638067	19960425
	US 5512563	A	19960430	US 1994-254823	19940613
	NZ 299340	A	20000825	NZ 1994-299340	19940728
PRAI	US 1993-100003	B2	19930729		
	US 1994-254823	A2	19940613		
	NZ 1994-264116	A1	19940728		

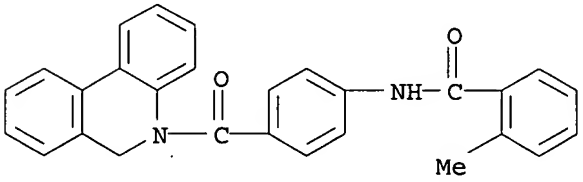
OS MARPAT 128:321569

IT **169879-15-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tricyclic benzazepine vasopressin antagonists)

RN 169879-15-6 CAPLUS

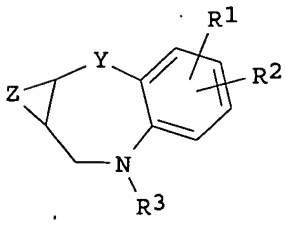
CN Benzamide, 2-methyl-N-[4-(5(6H)-phenanthridinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 17 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN

GI



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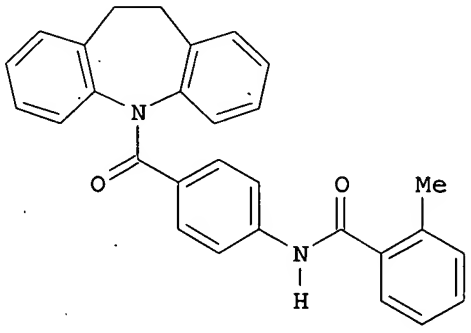


II

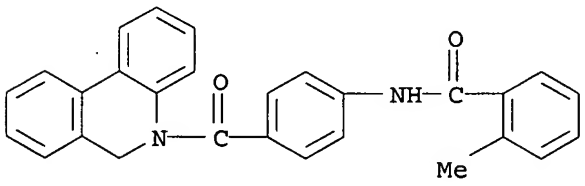
AB The title compds. [I; Z-contg. ring = (un)substituted fused Ph; Y = NH, NCOMe; N(C1-3 alkyl); R1 = H, halo, OH, etc.; R2 = H, Cl, Br, I, F, OH, etc.; R1R2 = methylenedioxy, ethylenedioxy; R3 = C(O)Ar (wherein Ar = (un)substituted Ph, furanyl, thienyl, pyrrolyl)] which exhibit antagonist activity at V1 and/or V2 receptors, in vivo vasopressin antagonist activity, and antagonist activity at oxytocin receptors, and are therefore useful in treating diseases characterized by excess renal reabsorption of water, were prepd. Thus, reaction of 4-[(2-methylbenzoyl)amino]benzoyl chloride with 10,11-dihydro-5H-dibenz[b,f]azepine in the presence of 4-(dimethylamino)pyridine and NaH in pyridine afforded compd. II which

showed IC50 of 2.5 .mu.M against rat hepatic V1 receptor binding and IC50 of 0.86 .mu.M against rat kidney medullary V2 receptor binding.

AN 1998:226808 CAPLUS
DN 128:282791
TI Preparation of tricyclic benzazepine vasopressin antagonists
IN Albright, Jay Donald; Reich, Marvin Fred; Sum, Fuk-wah; Du, Xuemei
PA American Cyanamid Co., USA
SO U.S., 104 pp., Cont.-in-part of U.S. 5,512,563.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 10

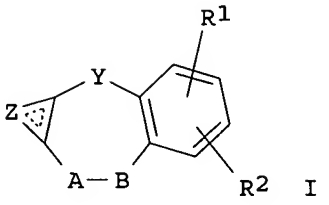
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5739128	A	19980414	US 1996-637058	19960424
	US 5512563	A	19960430	US 1994-254823	19940613
	NZ 299340	A	20000825	NZ 1994-299340	19940728
	US 5786353	A	19980728	US 1997-893497	19970711
PRAI	US 1993-100003	B2	19930729		
	US 1994-254823	A2	19940613		
	NZ 1994-264116	A1	19940728		
	US 1996-637058	A3	19960424		

OS MARPAT 128:282791
IT **169879-15-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tricyclic benzazepine vasopressin antagonists)
RN 169879-15-6 CAPLUS
CN Benzamide, 2-methyl-N-[4-(5(6H)-phenanthridinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 18 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN
GI



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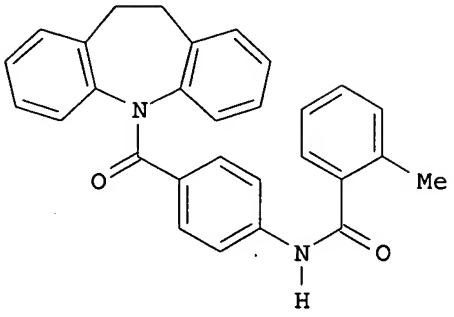


II

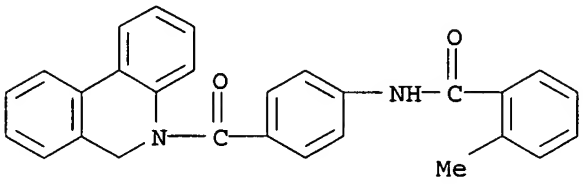
AB This invention relates to title compds. I wherein: Y = e.g., (CH2)n, O, S wherein n is an integer from 0-2; A-B is (CH2)mNR3 or NR3(CH2)m , wherein m is an integer from 1-2, provided that when Y is (CH2)n and n=2, m may also be zero and when n is zero, m may also be three, provided also that when Y is (CH2)n and n is 2, m may not also be two; R1 = e.g., H, halo, OH; R2 = e.g., H, halo, OH; R3 is the moiety COAr where Ar is selected from, e.g., substituted Ph, (un)substituted 5-indolyl; the arom. Z ring represents, e.g., fused (un)substituted Ph, 5- or 6-membered atom. heterocycle,. that exhibit antagonist activity at V1 and/or V2 receptors and exhibit in vivo vasopressin antagonist activity, methods for using such compds. in treating diseases characterized by excess renal reabsorption of water, and processes for prepg. such compds. I are also antagonists of the peptide hormone oxytocin and are useful in the control of premature birth. Thus, e.g., acylation of 6,11-dihydro-5H-dibenz[b,e]azepine (prepn. given) with 4-[(2-methylbenzoyl)amino]benzoyl chloride (prepn. given) afforded N-[4-[(6,11-dihydro-5H-dibenz[b,e]azepin-5-yl)carbonyl]phenyl]-2-methylbenzamide (II) which exhibited binding to rat hepatic V1 receptors and rat kidney medullary V2 receptors with IC50 = 0.15 and 0.068 .mu.M, resp., and oxytocin receptor binding with IC50 = 2.9 .mu.M.

AN 1998:219347 CAPLUS
DN 128:257347
TI Tricyclic benzazepine oxytocin and vasopressin antagonists
IN Albright, Jay Donald; Du, Xuemei
PA American Cyanamid Company, USA
SO U.S., 109 pp., Cont.-in-part of U.S. 5,512,563.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5736538	A	19980407	US 1996-638059	19960425
	US 5512563	A	19960430	US 1994-254823	19940613
	NZ 299340	A	20000825	NZ 1994-299340	19940728
PRAI	US 1993-100003	B2	19930729		
	US 1994-254823	A2	19940613		
	NZ 1994-264116	A1	19940728		

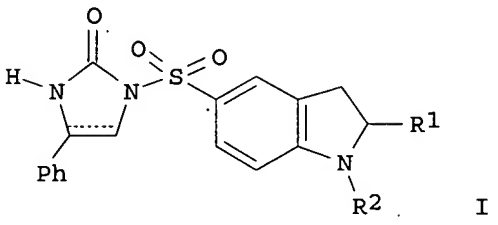
OS MARPAT 128:257347
IT **169879-15-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(tricyclic benzazepine oxytocin and vasopressin antagonists)
RN 169879-15-6 CAPLUS

CN Benzamide, 2-methyl-N-[4-(5(6H)-phenanthridinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 19 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN
GI



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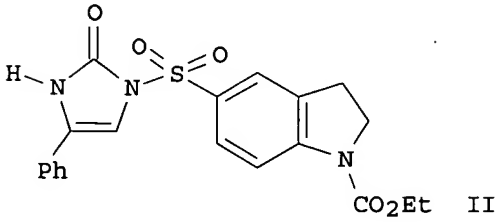


II

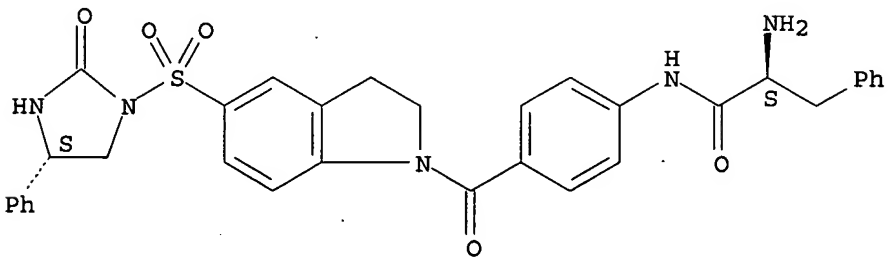
AB The title compds. [I; R1 = H, Me; R2 = chloroacetyl, allylaminoacetyl, C1-5 alkylaminoacetyl, etc.] and their pharmaceutically acceptable salts and stereoisomers, which show a superior antineoplastic activity in contrast to the known sulfonylurea antitumor agents as well as little side effect, were prepd. Thus, reaction of 4-phenyl-1-(indoline-5-sulfonyl)-2-imidazolone with ethylchloroformate in the presence of pyridine in CH2Cl2 afforded 96% the title compd. II which showed IC50 of 0.374 .mu.g/mL against human lung carcinoma (A549) cell line growth.
AN 1998:147327 CAPLUS
DN 128:204885
TI Preparation of arylsulfonylimidazolones as antitumor agent
IN Yoon, Sung June; Chung, Yong Ho; Lee, Moon Sun; Choi, Dong Rack; Lee, Jung A.; Lee, Hee Soon; Yun, Hae Ran; Lee, Dug Keun; Moon, Eun Yi; Hwang, Hyun Sook; Choi, Chung Ha; Jung, Sang Hun

PA Dong Wha Pharm. Ind. Co., Ltd., S. Korea
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9807719	A1	19980226	WO 1997-KR154	19970820
	W: AU, CA, CN, JP				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9739529	A1	19980306	AU 1997-39529	19970820
	AU 709107	B2	19990819		
	CN 1228088	A	19990908	CN 1997-197359	19970820
	CN 1079096	B	20020213		
	JP 2000505096	T2	20000425	JP 1998-510608	19970820
	JP 3226100	B2	20011105		
	EP 1021437	A1	20000726	EP 1997-936869	19970820
	EP 1021437	B1	20011114		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	AT 208774	E	20011115	AT 1997-936869	19970820
	CA 2263353	C	20020423	CA 1997-2263353	19970820
	US 5929103	A	19990727	US 1997-915726	19970821
	US 5932742	A	19990803	US 1998-212396	19981216
PRAI	KR 1996-34920	A	19960822		
	KR 1996-51939	A	19961105		
	KR 1996-53450	A	19961112		
	KR 1997-19365	A	19970519		
	WO 1997-KR154	W	19970820		
	US 1997-915726	A3	19970821		

OS MARPAT 128:204885
IT **203861-08-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylsulfonylimidazolones as antitumor agent)
RN 203861-08-9 CAPLUS
CN Benzenepropanamide, .alpha.-amino-N-[4-[[2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]-1H-indol-1-yl]carbonyl]phenyl]-, monohydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

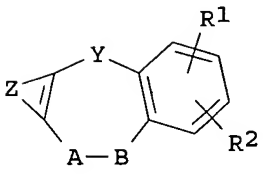
Absolute stereochemistry. Rotation (+).



HCl

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 20 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN
GI



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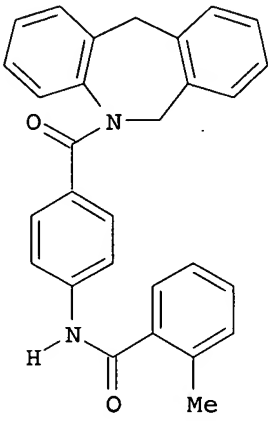


II

AB The title compds. [I; Y = a bond, CH2; AB = (CH2)2NR3, NR3(CH2)2; R1 = H, halo, OH, etc.; R2 = H, halo, OH, etc.; R1R2 = methylenedioxy, ethylenedioxy; R3 = C(O)Ar; Ar = (un)substituted Ph, 5-indolyl, thienyl, etc.; Z = (un)substituted fused pyrazole, benzene, etc.] and their salts which exhibit vasopressin antagonist activity and are useful in treating diseases characterized by excess renal reabsorption of water, were prepd. Thus, reaction of 4-[(2-methylbenzoyl)amino]benzoyl chloride with 6,11-dihydro-5H-dibenz[b,e]azepine in the presence of Et3N in THF afforded the title compd. II which showed IC50 of 0.15 .mu.M against rat hepatic V1 receptor binding and IC50 of 0.068 .mu.M against rat kidney medullary V2 receptor binding. Compd. II also showed 73% inhibition of oxytocin receptor binding at 10 .mu.M.

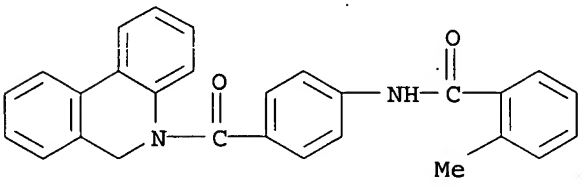
AN 1998:13962 CAPLUS
DN 128:75393
TI Preparation of tricyclic benzazepines as vasopressin antagonists
IN Albright, Jay Donald; Reich, Marvin Fred
PA American Cyanamid Company, USA
SO PCT Int. Appl., 289 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 10

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9747624	A1	19971218	WO 1997-US9548	19970603

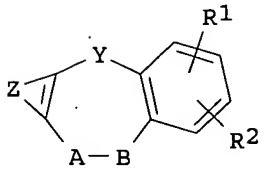
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9732964 A1 19980107 AU 1997-32964 19970603
PRAI US 1996-663400 A 19960613
WO 1997-US9548 W 19970603
OS MARPAT 128:75393
IT **169879-15-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tricyclic benzazepines as vasopressin antagonists)
RN 169879-15-6 CAPLUS
CN Benzamide, 2-methyl-N-[4-(5(6H)-phenanthridinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 21 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN
GI



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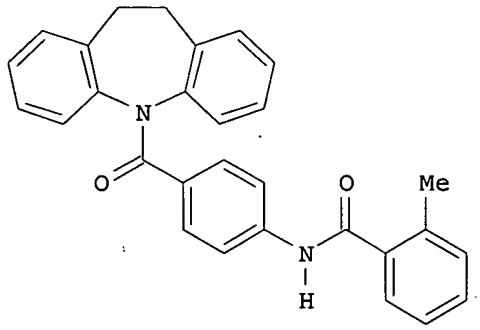


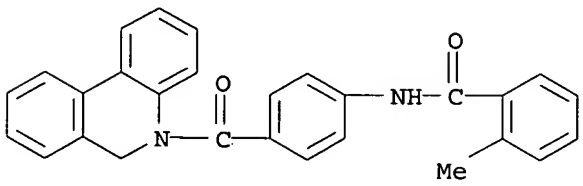
II

AB The title compds. [I; Y = a bond; AB= (CH2)2NR3, NR3(CH2)2; R1 = H, halo, OH, etc.; R2 = H, halo, OH, etc.; R1R2 = methylenedioxy; ethylenedioxy; R3 = COAr (wherein Ar = substituted Ph); Z with two carbon atoms attached represents a (un)substituted fused thiophene ring, Ph, etc.] which exhibit antagonist activity at V1 and/or V2 receptors, in vivo vasopressin antagonist activity, and also antagonist activity at oxytocin receptors, and are useful in treating diseases characterized by excess renal reabsorption of water, were prepd. Thus, reaction of 4-[(2-methylbenzoyl)amino]benzoyl chloride with 10,11-dihydro-5H-dibenz[b,f]azepine in the presence of NaH and 4-(dimethylamino)pyridine in pyridine afforded II which showed IC50 of 2.5 .mu.M against rat hepatic V1 receptor binding and IC50 of 0.86 .mu.M against rat kidney medullary V2 receptor binding.
AN 1997:772293 CAPLUS
DN 128:48246
TI Preparation of tricyclic benzazepines as vasopressin antagonists

IN Albright, Jay Donald; Reich, Marvin Fred
PA American Cyanamid Co., USA
SO U.S., 103 pp., Cont.-in-part of U.S. Ser. No. 639,014.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5693635	A	19971202	US 1996-662546	19960613
	US 5512563	A	19960430	US 1994-254823	19940613
	NZ 299340	A	20000825	NZ 1994-299340	19940728
	US 5869483	A	19990209	US 1996-639014	19960424
	WO 9747625	A1	19971218	WO 1997-US9549	19970603
	W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9732965	A1	19980107	AU 1997-32965	19970603
PRAI	US 1993-100003	B2	19930729		
	US 1994-254823	A2	19940613		
	US 1996-639014	A2	19960424		
	NZ 1994-264116	A1	19940728		
	US 1996-662546	A	19960613		
	WO 1997-US9549	W	19970603		

OS MARPAT 128:48246
IT **169879-15-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tricyclic benzazepines as vasopressin antagonists)
RN 169879-15-6 CAPLUS
CN Benzamide, 2-methyl-N-[4-(5(6H)-phenanthridinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

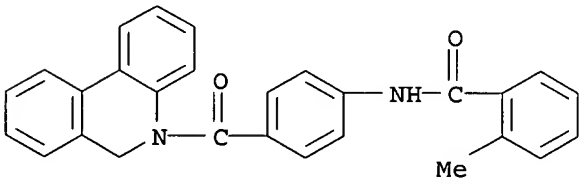


L9 ANSWER 22 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN
AB Approx. 80 title compds., primarily N-(substituted benzoylaminobenzoyl)dibenzazepines, were prepd. by N-acylation of the azepine. E.g., acylation of 10,11-dihydro-5H-dibenz[b,f]azepine with o-MeC6H4CONHC6H4COCl-p gave N-[4-(10,11-dihydro-5H-dibenz[b,f]azepin-5-ylcarbonyl)phenyl]-2-methylbenzamide. The title compds. exhibit antagonist activity at V1 and/or V2 receptors and extensive data is given for vasopressin antagonist activity.
AN 1997:735922 CAPLUS
DN 128:22824

TI Pyridobenzoxazepine and pyridobenzothiazepine vasopressin antagonists
IN Albright, Jay Donald; Du, Xuemei
PA American Cyanamid Co., USA
SO U.S., 107 pp., Cont.-in-part of U.S. 5,512,563.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5686445	A	19971111	US 1996-637908	19960425
	US 5512563	A	19960430	US 1994-254823	19940613
	NZ 299340	A	20000825	NZ 1994-299340	19940728
	US 5854236	A	19981229	US 1997-834706	19970401
PRAI	US 1993-100003	B2	19930729		
	US 1994-254823	A2	19940613		
	NZ 1994-264116	A1	19940728		
	US 1996-637908	A3	19960425		

OS MARPAT 128:22824
IT **169879-15-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and vasopressin antagonist activity of (benzoylaminobenzoyl)dibenzazepines)
RN 169879-15-6 CAPLUS
CN Benzamide, 2-methyl-N-[4-(5(6H)-phenanthridinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 23 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

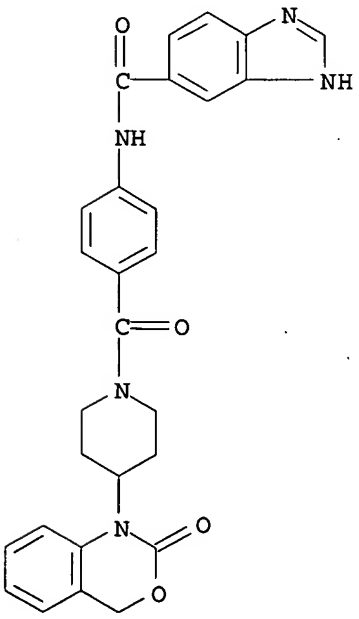
AB Compds. of formula I [X = O, NH, or NR8; Y = CH2, CHR8, or C(R8)2; R1 = camphor-10-yl, alkoxy, styryl, hydroxystyryl, furyl, (un)substituted thienyl, naphthyl, indolyl, tetrahydronaphthyl, (un)substituted pyridyl, pyrazinyl, (un)substituted cyclohexyl or Ph; R2 = H, alkoxy, alkyl, amino, alkylcarbonylamino, nitro, or halo; R3 = H, alkoxycarbonyl, cyano, or carbamoyl; and m = 0 or 1] and various analogs are disclosed. The compds. as useful as oxytocín (OT) and vasopressin receptor antagonists. Over 275 synthetic examples are given. For instance, Me 2,4-dihydroxybenzoate underwent Mitsunobu etherification with N-(tert-butoxycarbonyl)-4-piperidinol (51%), followed by O-methylation of the remaining hydroxyl (88%), sapon. of the Me ester (95%), and coupling of the resultant acid

with 1-(4-piperidinyl)-1,2-dihydro-4H-3,1-benzoxazin-2-one (HCl salt) using EDC and HOBt (88%), to give title compd. II [R = CO2Bu-tert]. The latter was deprotected with HCl in dioxane (93%) and acetylated with Ac2O (89%) to give title compd. II [R = Ac]. The latter inhibited binding of [3H]-OT to rat uterine OT receptors in vitro with an IC50 of 47 nM.

AN 1997:613831 CAPLUS
DN 127:278203
TI Benzoxazinone and benzopyrimidinone piperidinyl tocolytic oxytocin receptor antagonists
IN Bock, Mark G.; Evans, Ben E.; Williams, Peter D.; Freidinger, Roger M.; Pettibone, Douglas J.; Hobbs, Doug W.; Anderson, Paul S.
PA Merck and Co., Inc., USA
SO U.S., 140 pp., Cont.-in-part of U.S. Ser. No. 92,840, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

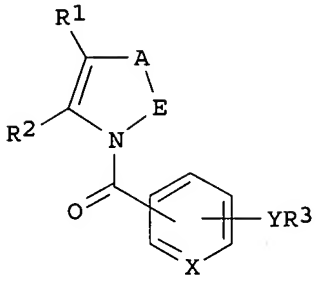
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5665719	A	19970909	US 1995-470693	19950606
PRAI US 1993-92840	B2	19930716		

OS MARPAT 127:278203
IT **196794-11-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzoxazinone and benzopyrimidinone derivs. as oxytocin and vasopressin receptor antagonists)
RN 196794-11-3 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-[4-[[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 24 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN

GI



I

AB The title compds. I [R1 and R2 form, together with adjacent C atoms, benzene ring, pyridine ring, etc.; R3 = (un)substituted alkenyl, etc.; A = CR4R5CH2; R4, R5 = H; or R4 = H, R5 = OH, etc.; or R4R5 = oxo; E = alkylene, etc.; X = CH, N; Y = single bond, etc.] are prepd. 4-[6-(2,3-Dimethylbenzoylamino)nicotinoyl]-5,6,7,8-tetrahydro-4H-thieno[3,2-b]azepine showed potent vasopressin V1 and V2 antagonist activity.

AN 1996:540942 CAPLUS

DN 125:195629

TI Preparation of heterocyclic compounds as vasopressin antagonists

IN Setoi, Hiroyuki; Ookawa, Takehiko; Yoshimitsu, Tatsuya; Henmi, Keiji; Tanaka, Hirokazu

PA Fujisawa Pharmaceutical Co, Japan

SO Jpn. Kokai Tokkyo Koho, 26 pp.
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

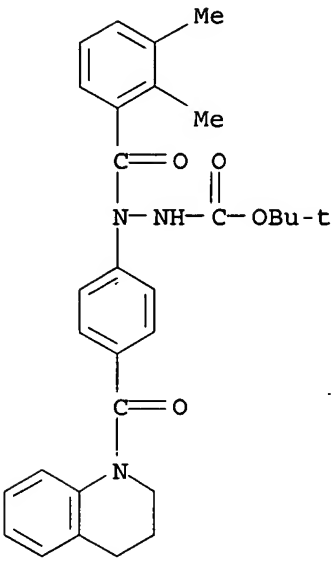
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 08143565	A2	19960604	JP 1994-282203	19941116
PRAI JP 1994-282203		19941116		

OS MARPAT 125:195629

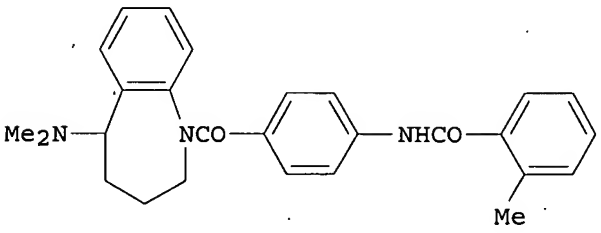
IT **180693-32-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. as vasopressin antagonists)

RN 180693-32-7 CAPLUS

CN Hydrazinecarboxylic acid, 2-[4-[(3,4-dihydro-1(2H)-quinolinyl)carbonyl]phenyl]-2-(2,3-dimethylbenzoyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 25 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN
GI



I

AB A novel series of nonpeptide vasopressin V2 receptor antagonists are described. The 1-[4-(benzoylamino)benzoyl]-2,3,4,5-1H-benzazepines and 1-[4-(benzoylamino)benzoyl]-2,3,4,5-1H-1,5-benzodiazepines show a high affinity for V2 (and V1a) receptors. Among the 1-[4-(benzoylamino)benzoyl]-2,3,4,5-1H-benzazepine series, compds. with an alkylamino group on the benzazepine ring have been shown to have oral activity. A lipophilic group at the ortho position on the terminal benzoyl ring is important for both high V2 receptor affinity and oral activity. On the basis of these favorable properties, clin. testing of I has begun for use as an oral and i.v. aquaretic agent.

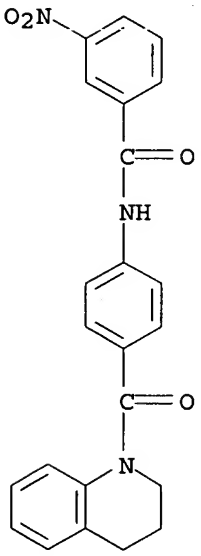
AN 1996:483603 CAPLUS
DN 125:221547

TI Orally Active, Nonpeptide Vasopressin V2 Receptor Antagonists: A Novel Series of 1-[4-(Benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepines and Related Compounds

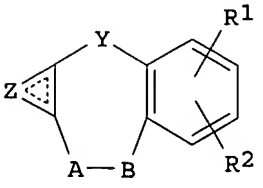
AU Ogawa, Hidenori; Yamashita, Hiroshi; Kondo, Kazumi; Yamamura, Yoshitaka; Miyamoto, Hisashi; Kan, K.; Kitano, Kazuyoshi; Tanaka, Michinori; Nakaya, K.; et al.
CS Second Institute of New Drug Research, Otsuka Pharmaceutical Co., Tokushima, 771-01, Japan

SO Journal of Medicinal Chemistry (1996), 39(18), 3547-3555

CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
IT **137976-43-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of nonpeptide vasopressin V2 receptor antagonist tetrahydro-1H-benzazepines)
RN 137976-43-3 CAPLUS
CN Benzamide, N-[4-[(3,4-dihydro-1(2H)-quinolinyl)carbonyl]phenyl]-3-nitro- (9CI) (CA INDEX NAME)



L9 ANSWER 26 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN
GI



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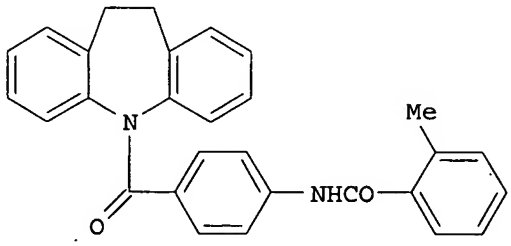


II

AB This invention relates to title compds. I wherein: Y = e.g., (CH2)n, O, S wherein n is an integer from 0-2; A-B is (CH2)mNR3 or NR3(CH2)m , wherein m is an integer from 1-2, provided that when Y is (CH2)n and n=2, m may also be zero and when n is zero, m may also be three, provided also that when Y is (CH2)n and n is 2, m may not also be two; R1 = e.g., H, halo,

OH; R2 = e.g., H, halo, OH; R3 is the moiety COAr where Ar is selected from, e.g., substituted Ph, (un)substituted 5-indolyl; the arom. Z ring represents, e.g., fused (un)substituted Ph, 5- or 6-membered atom. heterocycle, that exhibit antagonist activity at V1 and/or V2 receptors and exhibit in vivo vasopressin antagonist activity, methods for using such compds. in treating diseases characterized by excess renal reabsorption of water, and processes for prepg. such compds. I are also antagonists of the peptide hormone oxytocin and are useful in the control of premature birth. Thus, e.g., acylation of 6,11-dihydro-5H-dibenz[b,e]azepine (prepn. given) with 4-[(2-methylbenzoyl)amino]benzoyl chloride (prepn. given) afforded N-[4-[(6,11-dihydro-5H-dibenz[b,e]azepin-5-yl)carbonyl]phenyl]-2-methylbenzamide (II) which exhibited binding to rat hepatic V1 receptors and rat kidney medullary V2 receptors with IC50 = 0.15 and 0.068 .mu.M, resp., and oxytocin receptor binding with IC50 = 2.9 .mu.M.

AN 1996:323956 CAPLUS
DN 125:86517
TI Tricyclic benzazepine oxytocin and vasopressin antagonists
IN Albright, Jay D.; Sum, Fuk Wah; Du, Xuemei
PA American Cyanamid Company, USA
SO U.S., 95 pp., Cont.-in-part of U.S. Ser. No. 100,003, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5512563	A	19960430	US 1994-254823	19940613
	EP 640592	A1	19950301	EP 1994-111040	19940715
	EP 640592	B1	19981230		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	AT 175198	E	19990115	AT 1994-111040	19940715
	ES 2125377	T3	19990301	ES 1994-111040	19940715
	SK 281194	B6	20010118	SK 1994-880	19940720
	FI 9403542	A	19950130	FI 1994-3542	19940728
	NO 9402817	A	19950130	NO 1994-2817	19940728
	AU 9468776	A1	19950209	AU 1994-68776	19940728
	AU 676737	B2	19970320		
	ZA 9405604	A	19950309	ZA 1994-5604	19940728
	JP 07179430	A2	19950718	JP 1994-195886	19940728
	HU 71548	A2	19951228	HU 1994-2223	19940728
	RU 2149160	C1	20000520	RU 1994-27580	19940728
	NZ 299340	A	20000825	NZ 1994-299340	19940728
	CN 1106802	A	19950816	CN 1994-108768	19940729
	CN 1064354	B	20010411		
	PL 181918	B1	20011031	PL 1994-304496	19940729
	TW 402592	B	20000821	TW 1994-83108599	19940916
	US 5739128	A	19980414	US 1996-637058	19960424
	US 5869483	A	19990209	US 1996-639014	19960424
	US 5686445	A	19971111	US 1996-637908	19960425
	US 5736538	A	19980407	US 1996-638059	19960425
	US 5747487	A	19980505	US 1996-638067	19960425
	US 5760031	A	19980602	US 1996-637911	19960425
	US 5693635	A	19971202	US 1996-662546	19960613
	US 5854236	A	19981229	US 1997-834706	19970401
	US 5834461	A	19981110	US 1997-874314	19970613
	US 5843952	A	19981201	US 1997-889858	19970708
	US 5786353	A	19980728	US 1997-893497	19970711
	HK 1011362	A1	20010727	HK 1998-112373	19981127

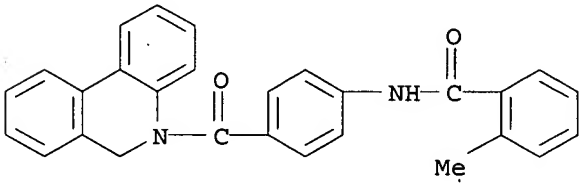
	FI 2001001100	A	20010525	FI 2001-1100	20010525
	FI 2001001101	A	20010525	FI 2001-1101	20010525
	FI 2001001102	A	20010525	FI 2001-1102	20010525
PRAI	US 1993-100003	B2	19930729		
	US 1994-254823	A2	19940613		
	NZ 1994-264116	A1	19940728		
	US 1996-637058	A3	19960424		
	US 1996-639014	A2	19960424		
	US 1996-637908	A3	19960425		
	US 1996-663400	B1	19960613		

OS MARPAT 125:86517

IT **169879-15-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(tricyclic benzazepine oxytocin and vasopressin antagonists)

RN 169879-15-6 CAPLUS

CN Benzamide, 2-methyl-N-[4-(5(6H)-phenanthridinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 27 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN

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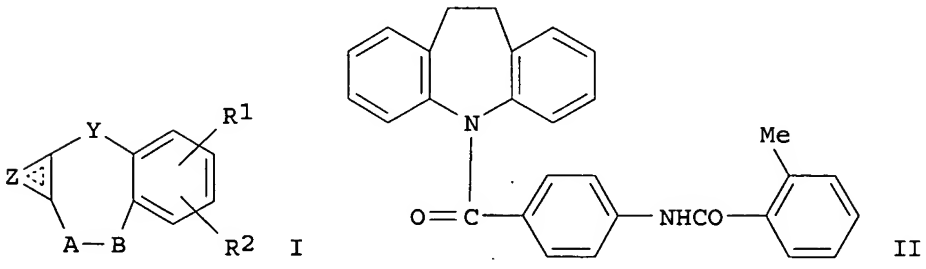


AB The title compds. [I; AB = (CH2)mNR3, (un)substituted R3N(CH2)m; R3 = (un)substituted arylcarbonyl, (un)substituted 5-indolylcarbonyl, etc.; m = 1, 2; R1 = H, halogen, OH, alkylthio, SH, acyl, etc.; R2 = H, Cl, F, Br, I, alkyl, alkoxy; Z = (un)substituted fused Ph, (un)substituted 5-member heteroarom. ring, etc.], useful as vasopressin antagonists for diseases requiring diuretic application, are prepd. Thus, dibenzazepine II was prepd. and demonstrated a IC50 for human V2 receptors of 0.86 .mu.M.

AN 1995:898877 CAPLUS

DN 123:313792

TI Preparation of tricyclic benzazepine vasopressin antagonists

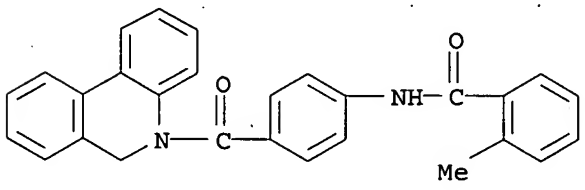
IN Albright, Jay D.; Reich, Marvin F.; Sum, Fuk-Wah; Du, Xuemei

PA American Cyanamid Co., USA

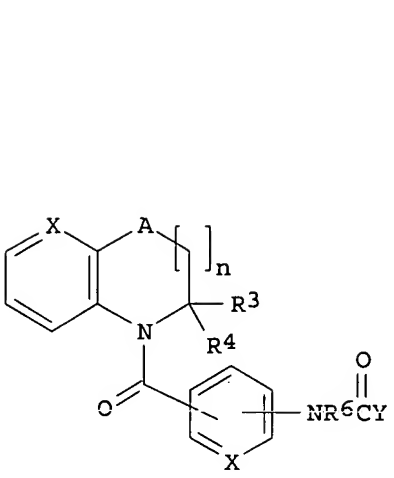
SO Can. Pat. Appl., 288 pp.
CODEN: CPXXEB
DT Patent
LA English
FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CA 2128955	AA	19950130	CA 1994-2128955	19940727
	EP 640592	A1	19950301	EP 1994-111040	19940715
	EP 640592	B1	19981230		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	AT 175198	E	19990115	AT 1994-111040	19940715
	ES 2125377	T3	19990301	ES 1994-111040	19940715
	SK 281194	B6	20010118	SK 1994-880	19940720
	FI 9403542	A	19950130	FI 1994-3542	19940728
	NO 9402817	A	19950130	NO 1994-2817	19940728
	AU 9468776	A1	19950209	AU 1994-68776	19940728
	AU 676737	B2	19970320		
	ZA 9405604	A	19950309	ZA 1994-5604	19940728
	JP 07179430	A2	19950718	JP 1994-195886	19940728
	HU 71548	A2	19951228	HU 1994-2223	19940728
	RU 2149160	C1	20000520	RU 1994-27580	19940728
	NZ 299340	A	20000825	NZ 1994-299340	19940728
	CN 1106802	A	19950816	CN 1994-108768	19940729
	CN 1064354	B	20010411		
	PL 181918	B1	20011031	PL 1994-304496	19940729
	TW 402592	B	20000821	TW 1994-83108599	19940916
	HK 1011362	A1	20010727	HK 1998-112373	19981127
	FI 2001001100	A	20010525	FI 2001-1100	20010525
	FI 2001001101	A	20010525	FI 2001-1101	20010525
	FI 2001001102	A	20010525	FI 2001-1102	20010525
PRAI	US 1993-100003	A	19930729		
	NZ 1994-264116	A1	19940728		

OS MARPAT 123:313792
IT **169879-15-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tricyclic benzazepine vasopressin antagonists)
RN 169879-15-6 CAPLUS
CN Benzamide, 2-methyl-N-[4-(5(6H)-phenanthridinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 28 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN
GI



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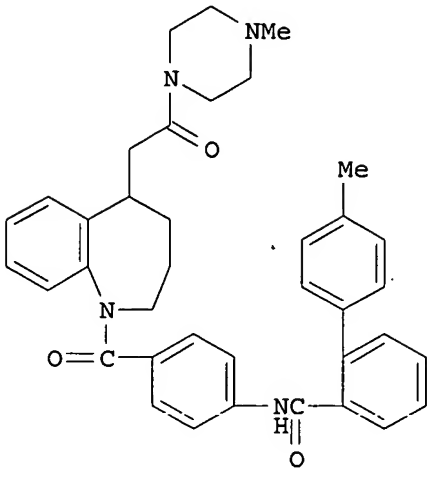


II

AB Benzamide derivs. I (R1 = H, alkyl, etc.; R2 = H, alkyl, haloalkyl, etc.; R3, R4 = H, alkyl, etc.; R3R4 taken together form oxo; R5 = H, halo, nitro, hydroxy, etc.; R6 = H, alkyl, acyl; A = aminomethylene, alkanediyl, alkenediyl, etc.; X, Y = nitrogen, methine; n = integer) were disclosed as vasopressin antagonists. I are useful for the treatment or prevention of hypertension, heart failure renal insufficiency, edema, ascites, vasopressin parasecretion syndrome, hepatocirrhosis, hyponatremia, hypokalemia, diabetic and circulation disorders. An example compd., 1-[4-[2-(4-methylphenyl)benzoylamino]benzoyl]-5-[[(4-methyl-1-piperazinyl)carbonyl]methyl]-2,3,4,5-tetrahydro-1H-1-benzazepine (II) was prepd. in several steps.

AN 1995:807928 CAPLUS

DN 123:198646

TI Benzamide derivatives and their use as vasopressin antagonists

IN Setoi, Hiroyuki; Ohkawa, Takehiko; Zenkoh, Tatsuya; Hemmi, Keiji; Tanaka, Horokazu

PA Fujisawa Pharmaceutical Co., Ltd., Japan

SO Eur. Pat. Appl., 110 pp.
CODEN: EPXXDW

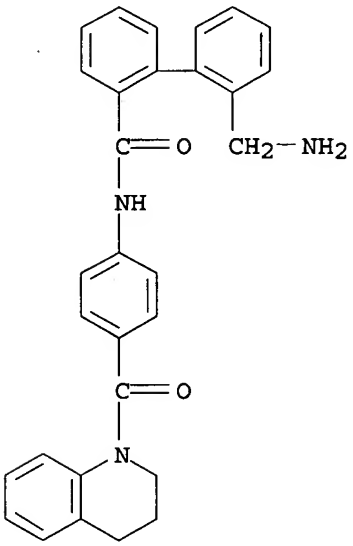
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 620216	A1	19941019	EP 1994-105344	19940407
	EP 620216	B1	20030108		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	US 5521170	A	19960528	US 1994-220695	19940331
	AT 230729	E	20030115	AT 1994-105344	19940407
	ES 2185635	T3	20030501	ES 1994-105344	19940407
	AU 9459322	A1	19941020	AU 1994-59322	19940408
	AU 679719	B2	19970710		
	CA 2121112	AA	19941014	CA 1994-2121112	19940412
	JP 07002800	A2	19950106	JP 1994-72997	19940412
	CN 1098406	A	19950208	CN 1994-103577	19940412
	CN 1058710	B	20001122		
	HU 70197	A2	19950928	HU 1994-1041	19940412
	ZA 9402325	A	19950216	ZA 1994-2325	19941031

PRAI GB 1993-7527 A 19930413
OS MARPAT 123:198646
IT **168045-99-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of benzamide derivs. vasopressin antagonists)
RN 168045-99-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-(aminomethyl)-N-[4-[(3,4-dihydro-1(2H)-quinolinyl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 29 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN
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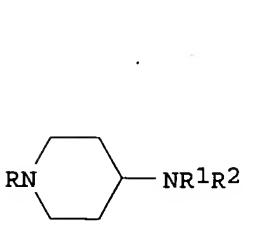

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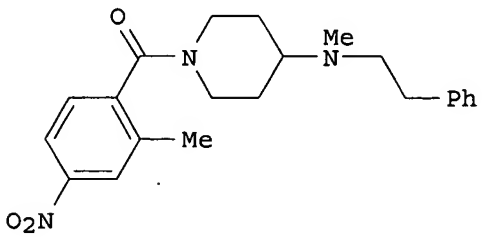


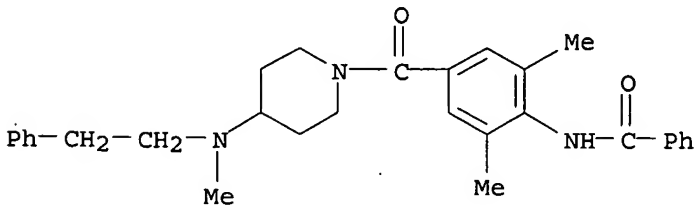
II

AB Title compds. [I; R = substituted Bz, (un)substituted carbamoyl, etc.; R1 = H, (hydroxy)alkyl; R2 = (un)substituted phenyl(oxy)alkyl; NR1R2 = (un)substituted pyrrolidino, -piperidino, morpholino, -1,2,3,4-tetrahydroisoquinolino] were prepd. Thus, title compd. II gave 24.0mL/min increase in femoral artery blood flow at 10-30.mu.L of a 100nM soln. intra-arterially in dogs.
AN 1995:511433 CAPLUS
DN 123:198624
TI Preparation of N-benzoylpiperidine-4-amines as peripheral vasodilators
IN Fujioka, Takafumi; Teramoto, Shuji; Tanaka, Michinori; Shimizu, Hiroshi; Tabusa, Fujio; Tominaga, Michiaki

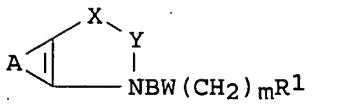
PA Otsuka Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 505 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9422826	A1	19941013	WO 1994-JP549	19940404
	W: AU, CA, CN, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2136999	AA	19941013	CA 1994-2136999	19940404
	AU 9462928	A1	19941024	AU 1994-62928	19940404
	AU 674207	B2	19961212		
	EP 650476	A1	19950503	EP 1994-910593	19940404
	EP 650476	B1	20020626		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CN 1104412	A	19950628	CN 1994-190181	19940404
	CN 1052224	B	20000510		
	AT 219766	E	20020715	AT 1994-910593	19940404
	ES 2179071	T3	20030116	ES 1994-910593	19940404
	JP 06340627	A2	19941213	JP 1994-95532	19940407
	JP 2825755	B2	19981118		
	US 5656642	A	19970812	US 1994-347454	19941206
	US 5760058	A	19980602	US 1997-794322	19970203
	HK 1003708	A1	20020927	HK 1998-102819	19980403
	US 6136826	A	20001024	US 1998-66930	19980428
PRAI	JP 1993-80712	A	19930407		
	WO 1994-JP549	W	19940404		
	US 1994-347454	A3	19941206		
	US 1997-794322	A3	19970203		

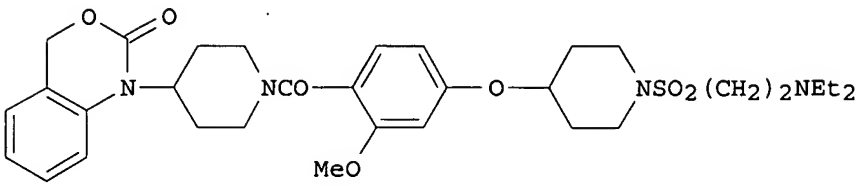
OS MARPAT 123:198624
IT **167622-74-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-benzoylpiperidine-4-amines as peripheral vasodilators)
RN 167622-74-4 CAPLUS
CN Benzamide, N-[2,6-dimethyl-4-[[4-[methyl(2-phenylethyl)amino]-1-piperidinyl]carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



L9 ANSWER 30 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN
GI



I



II

AB Fused N-contg. heterocyclic ring system derivs. I [A completes a 5- or 6-membered carbocyclic or N- and/or S-contg. heterocyclic ring; X = O, NH, (CH2)qO, CH2NH, OCH2, CH:CH, S, etc.; Y = CH2, C:O, C:S, C:NH, C:NMe; B = (substituted) N-contg. heterocyclic or heterobicyclic ring; W = CH2, C:O, CO2, SO2, C(:NCH2Ph), etc.; R1 = (hetero)aryl, C1-5 alkoxy, camphor-10-yl] are useful as oxytocin and vasopressin receptor antagonists, e.g in treatment of preterm labor and dysmenorrhea and in stopping labor preparatory to cesarean delivery. Thus, in competitive radioligand binding assays on rat uterus membrane prepns., high-affinity binding of oxytocin-3H was inhibited by 1-[1-[4-[1-[(diethylaminoethyl)sulfonyl]-4-piperidinyloxy]-2-methoxybenzoyl]piperidin-4-yl]-1,2-dihydro-4H-3,1-benzoxazin-2-one (II) with an IC50 of 23 nM. II was prepd. in 7 steps from Me 2,4-dihydroxybenzoate, N-tert-butyloxy-4-piperidinol, 1-(4-piperidinyl)-1,2-dihydro-4H-3,1-benzoxazin-2-one-HCl (prepn. given), ClCH2CH2SO2Cl, and HNEt2. Prepn. of 277 compds. of formula I is described.

AN 1995:470323 CAPLUS

DN 123:276051

TI Benzoxazinone and benzopyrimidinone piperidinyl tocolytic oxytocin receptor antagonists

IN Bock, Mark G.; Evans, Ben E.; Hobbs, Doug W.; Williams, Peter D.; Anderson, Paul S.; Freidinger, Roger M.; Pettibone, Douglas J.

PA Merck and Co., Inc., USA

SO PCT Int. Appl., 385 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9502405	A1	19950126	WO 1994-US7784	19940714
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KE, KG, KR, KZ, LK, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9475132	A1	19950213	AU 1994-75132	19940714
	AU 691829	B2	19980528		
	EP 714299	A1	19960605	EP 1994-925092	19940714
	EP 714299	B1	20020424		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				

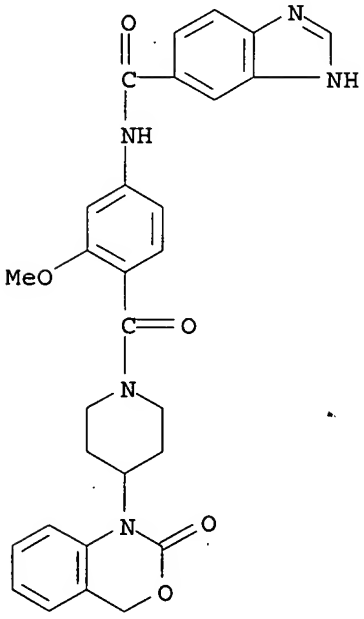
	JP 09500134	T2	19970107	JP 1994-504656	19940714
	AT 216580	E	20020515	AT 1994-925092	19940714
PRAI	US 1993-92840	A	19930716		
	WO 1994-US7784	W	19940714		

OS MARPAT 123:276051

IT **162043-57-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(benzoxazinone and benzopyrimidinone piperidinyl tocolytic oxytocin receptor antagonists)

RN 162043-57-4 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, N-[3-methoxy-4-[[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 31 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB R1COABDEGR2 [A = bond, Q1, Q2, Q3; a, b, d, f = 1,2; e = 0-2; R3, R10, R26 = H, alkyl, protecting group; R4, R5, R11, R12, R27, R28 = H, Me, etc.; R4R5, R11R12 = atoms to form a 5-6 membered carbocycle; B = Q4, Q5, Q6, etc.; j = 0-4; g = 1-3; R9 = H, protecting group; D, E, G = B, Q7; R1 = alkyl, pyridyl, quinolyl, etc.; R2 = Q8; k, l = 0-2; R29, R30 = H, protecting group, (substituted) alkyl], were prepd. as natriuretics (no data). Thus, title compd. (I) was prepd. on Tentagel-S-NH2 resin using FMOC-protected amino acids.

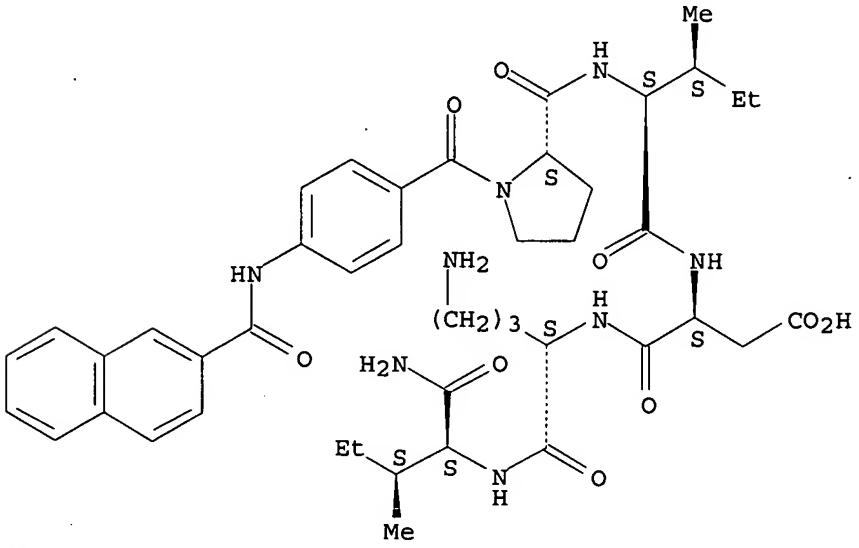
AN 1995:304927 CAPLUS

DN 122:82085
TI Preparation of acyclic peptides as cardiovascular agents (natriuretics).
IN Voges, Klaus Peter; Henning, Rolf; Huebsch, Walter; Lenfers, Jan Bernd; Beuck, Martin; Theiss, Gudrun; Stasch, Johannes Peter; Hirth-Dietrich, Claudia
PA Bayer A.-G., Germany
SO Ger. Offen., 73 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

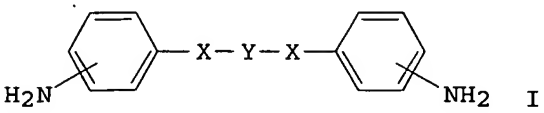
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 4242946	A1	19940623	DE 1992-4242946	19921218
	WO 9414840	A1	19940707	WO 1993-EP3431	19931206
	W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2151961	AA	19940707	CA 1993-2151961	19931206
	AU 9456970	A1	19940719	AU 1994-56970	19931206
	EP 674655	A1	19951004	EP 1994-902694	19931206
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
PRAI	DE 1992-4242946		19921218		
	WO 1993-EP3431		19931206		

OS MARPAT 122:82085
IT **160344-78-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as cardiovascular agent)
RN 160344-78-5 CAPLUS
CN L-Isoleucinamide, 1-[4-[(2-naphthalenylcarbonyl)amino]benzoyl]-L-prolyl-L-isoleucyl-L-.alpha.-aspartyl-L-ornithyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 32 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN
GI



I

AB At least 1 of orientation films formed on a pair of substrates of a liq. crystal display comprises a polyimide prepd. from .gtoreq.1 kind(s) of diamine compds. I (X = CONR1, NR1CO, SO2NR1, NR1SO2, NR1CONR2, CONR1CO; R1, R2 = H, alkyl, aryl; Y = divalent group having benzene ring) and a tetracarboxylic acid deriv. selected from tetracarboxylic acids, tetracarboxylic diesters, tetracarboxylic tetraesters, or tetracarboxylic dianhydrides. The orientation film can be prepd. by coating; it shows large pretilt angle obtainable only from an obliquely deposited SiO orientation film.

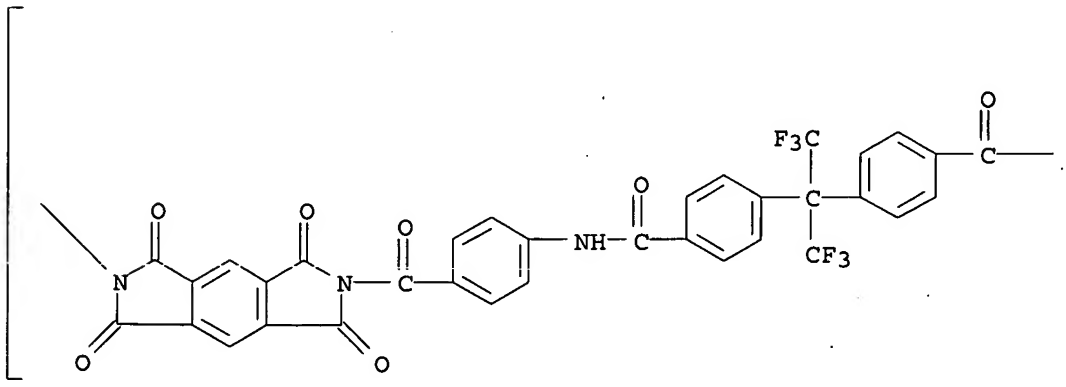
AN 1994:641953 CAPLUS
DN 121:241953
TI Liquid crystal display having polyimide orientation film
IN Nozaki, Choji; Imamura, Naoya
PA Fuji Photo Film Co Ltd, Japan
SO Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 05158048	A2	19930625	JP 1991-326131	19911210
PRAI JP 1991-326131		19911210		

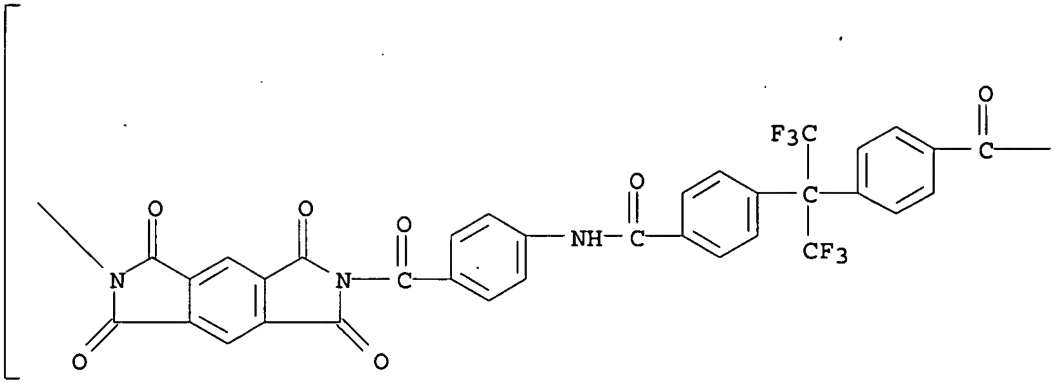
IT **156562-31-1P**
RL: PREP (Preparation)
(films, prepn. and use of, as liq. crystal orientation film)

RN 156562-31-1 CAPLUS
CN Poly[(5,7-dihydro-1,3,5,7-tetraoxobenzo[1,2-c:4,5-c']dipyrrole-2,6(1H,3H)-diyl)carbonyl-1,4-phenyleneiminocarbonyl-1,4-phenylene[2,2,2-trifluoro-1-(trifluoromethyl)ethylidene]-1,4-phenylenecarbonylimino-1,4-phenylenecarbonyl] (9CI) (CA INDEX NAME)

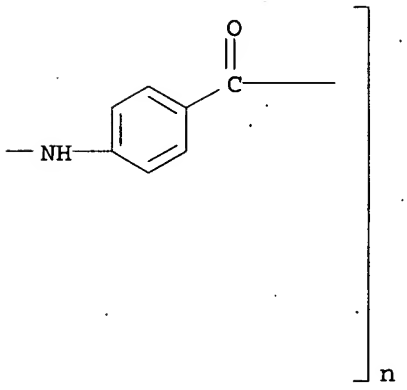
PAGE 1-A



PAGE 1-A

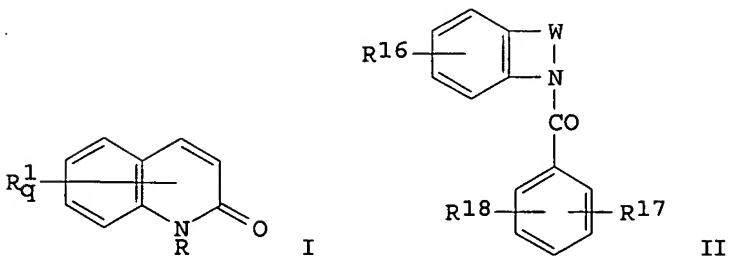


PAGE 1-B



L9 ANSWER 33 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN

GI



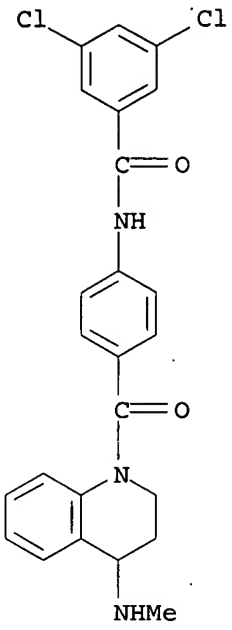
AB Oxytocin antagonists comprise, as active ingredients, carbostyril derivs. I [R1 = H, NO2, lower alkyl, lower alkoxy, lower alkoxycarbonsyl, halo, etc.; q = 1-3; R = substituted Ph, (substituted) 5-6-membered ring contg.

NR2; R2 = H, lower alkoxycarbonyl, (substituted) phenoxycarbonyl, naphthylcarbonyl, etc.] or benzoheterocyclic compds. II [R16 = H, halo, lower alkyl, (lower alkyl substituted) amino, lower alkoxy; R17 = H, halo, lower alkoxy, phenyl(lower)alkoxy, HO, lower alkyl, etc.; R18 = NR19R20, CONR26R27; R19 = H, lower alkyl, (halo substituted) benzoyl; R20 = (substituted) COC6H4, lower alkanoyl, phenyl-lower alkoxycarbonyl, cycloalkylcarbonyl, etc.; R26 = H, lower alkyl; R27 = cycloalkyl, (substituted) Ph; W = (CH2)t, CH=CH(CH2)v, etc.; t = 3-5; v = 1-3] or their pharmaceutically acceptable salts,. These compds. show excellent oxytocin antagonist activity and hence are useful in the protection or treatment of oxytocin-related diseases, esp. for treatment of premature delivery, dysmenorrhea, endometritis, or for stopping labor preparatory to cesarean delivery. IC50 values were detd. for I and II compds. in a rat oxytocin receptor binding assay. Coated tablet and injection formulations are given.

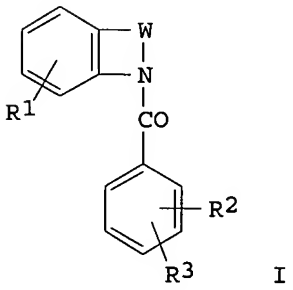
AN 1994:290828 CAPLUS
DN 120:290828
TI Carbostyril derivatives and benzoheterocyclic compounds as oxytocin antagonists for treating oxytocin-related diseases
IN Ogawa, Hidenori; Miyamoto, Hisashi; Kondo, Kazumi; Yamashita, Hiroshi; Nakaya, Kenji; Tanaka, Michinori; Kitano, Kazuyoshi
PA Otsuka Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 207 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9401113	A1	19940120	WO 1993-JP835	19930622
	W: AU, CA, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9343569	A1	19940131	AU 1993-43569	19930622
	AU 657424	B2	19950309		
	EP 602209	A1	19940622	EP 1993-913553	19930622
	R: AT, BE, CH, DE, DK, ES, FR, GB, IE, IT, LI, LU, NL, SE				
	JP 06087747	A2	19940329	JP 1993-161715	19930630
	JP 2969206	B2	19991102		
	JP 06092854	A2	19940405	JP 1993-161716	19930630
	JP 2969207	B2	19991102		
	CN 1091288	A	19940831	CN 1993-109876	19930702
PRAI	JP 1992-175563		19920702		
	JP 1992-175566		19920702		
	WO 1993-JP835		19930622		

OS MARPAT 120:290828
IT **150680-89-0**
RL: BIOL (Biological study)
(coated tablets contg., as oxytocin antagonist)
RN 150680-89-0 CAPLUS
CN Benzamide, 3,5-dichloro-N-[4-[[3,4-dihydro-4-(methylamino)-1(2H)-quinolinyl]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 34 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN
GI



I

AB The title compds. [I; R1 = H, halo, alkyl, (alkyl)amino, alkoxy; R2 = H, halo, alkoxy, phenylalkoxy, HO, alkyl, (alkyl)amino, carbamoylalkyl, (N-alkyl)aminoalkoxy, (halo)benzoyl; R3 = (un)substituted NH2 or CONH2; W = (CH2)p (where p = 3-5) or CH:CH(CH2)q (where q = 1-3) each optionally having the C atom replaced with O, S, SO, SO2, or (un)substituted NH], useful as vasodilators, antihypertensives, blood platelet aggregation inhibitors, diuretics, etc., are prepd. Thus, 38.8 g K2CO3 was added to a soln. of 8.7 g 1,2,3,4-tetrahydroquinoline in aq. acetone followed by dropwise addn. of 56 g 4-benzoylaminobenzoyl chloride under ice-cooling, and the mixt. was stirred at room temp. overnight to give, after silica gel chromatog., 57 g 1-[4-(benzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline. A total of 529 I including N-benzoylquinoline, -quinoxaline, -benzazepine, -benzodiazepine, and -benzoxazepine derivs. were prepd. and showed IC50 of 0.003-9.1 .mu.M for inhibiting the binding of [3H]vasopressin to V2 receptor in a rat kidney sample.

AN 1993:649979 CAPLUS
DN 119:249979

TI Preparation of N-benzoyl benzo-fused heterocyclic compounds as vasopressin antagonists

IN Ogawa, Hidenori; Miyamoto, Hisashi; Kondo, Kazumi; Yamashita, Hiroshi; Nakaya, Kenji; Komatsu, Hajime; Tanaka, Michinori; Takara, Shinya; Tominaga, Michiaki; Yabuchi, Yoichi

PA Otsuka Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 318 pp.
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 2

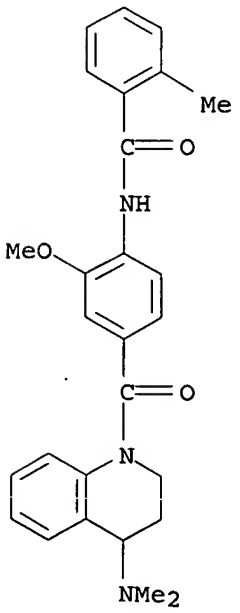
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 04321669	A2	19921111	JP 1991-182066	19910419
	JP 2905909	B2	19990614		
	US 5258510	A	19931102	US 1992-851541	19920313
	US 5559230	A	19960924	US 1993-76804	19930610
	US 5753677	A	19980519	US 1995-474544	19950607
	US 5985869	A	19991116	US 1997-893925	19970715
PRAI	JP 1989-274338	A	19891020		
	JP 1990-66063	A	19900315		
	JP 1990-105580	A	19900420		
	JP 1990-181858	A	19900709		
	JP 1991-182066	A	19910419		
	US 1991-762015	B2	19910619		
	US 1992-851541	A3	19920313		
	US 1993-76804	A3	19930610		
	US 1995-474544	A3	19950607		

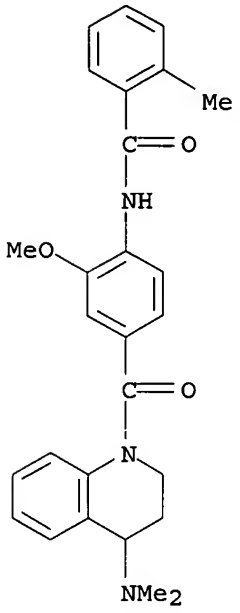
OS MARPAT 119:249979

IT **137975-12-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as vasopressin antagonist)

RN 137975-12-3 CAPLUS

CN Benzamide, N-[4-[[4-(dimethylamino)-3,4-dihydro-1(2H)-quinolinyl]carbonyl]-2-methoxyphenyl]-2-methyl- (9CI) (CA INDEX NAME)





L9 ANSWER 35 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN
GI For diagram(s), see printed CA Issue.
AB Title compds. I [X = atoms required to complete a 6-8-membered ring optionally contg. other heteroatoms; R = substituted Ph; R1 = H, halogen, alkyl, NH2, substituted NH2, aminoalkoxy, (un)substituted BzO] (.apprx.1000 compds.) were prepd. by various methods. Benzazepines II (R2 = NMe2, R3 = 2-MeC6H4; R2 = OH, R3 = 3,5-Cl2C6H3; R2 = H, R3 = 2,3-Me2C6H3) tripled urine excretion in rats at 0.4-4.2 mg/kg i.v.
AN 1992:128686 CAPLUS
DN 116:128686
TI Benzoheterocyclic compounds
IN Ogawa, Hidenori; Miyamoto, Hisashi; Kondo, Kazumi; Yamashita, Hiroshi; Nakaya, Kenji; Komatsu, Hajime; Tanaka, Michinori
PA Otsuka Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 909 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9105549	A1	19910502	WO 1990-JP1340	19901018
	W: KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
	EP 450097	A1	19911009	EP 1990-915185	19901018
	EP 450097	B1	19960424		
	R: CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
	ES 2089033	T3	19961001	ES 1990-915185	19901018
	CN 1051038	A	19910501	CN 1990-108449	19901019
	CN 1027505	B	19950125		
	JP 04154765	A2	19920527	JP 1990-282568	19901019
	JP 07076214	B4	19950816		
	AU 9172917	A1	19911219	AU 1991-72917	19910314
	AU 630284	B2	19921022		

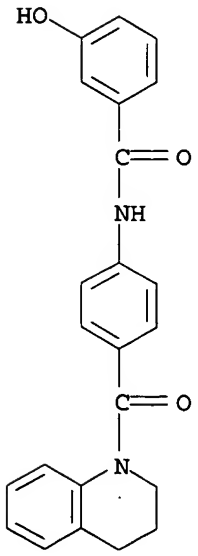
	CA 2066104	AA	19921020	CA 1992-2066104	19920415
	CA 2066104	C	20030527		
	AU 9214984	A1	19921022	AU 1992-14984	19920416
	AU 646334	B2	19940217		
	EP 514667	A1	19921125	EP 1992-106606	19920416
	EP 514667	B1	19950809		
	R: CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
	CN 1066653	A	19921202	CN 1992-103409	19920416
	CN 1035670	B	19970820		
	ES 2078576	T3	19951216	ES 1992-106606	19920416
	JP 05132466	A2	19930528	JP 1992-96880	19920417
	JP 2916536	B2	19990705		
	US 5244898	A	19930914	US 1992-870318	19920417
	CN 1107146	A	19950823	CN 1994-101827	19940302
	CN 1048484	B	20000119		
	US 5753677	A	19980519	US 1995-474544	19950607
PRAI	JP 1989-274338	A	19891020		
	JP 1990-66063	A	19900315		
	JP 1990-105580	A	19900420		
	JP 1990-181858	A	19900709		
	JP 1991-87994		19910419		
	WO 1990-JP1340	W	19901018		
	US 1991-762015	B2	19910619		
	US 1992-851541	A3	19920313		
	US 1993-76804	A3	19930610		

OS MARPAT 116:128686

IT **137978-91-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and alkylation of)

RN 137978-91-7 CAPLUS

CN Benzamide, N-[4-[(3,4-dihydro-1(2H)-quinolinyl)carbonyl]phenyl]-3-hydroxy-(9CI) (CA INDEX NAME)



L9 ANSWER 36 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The synthesis, physicochem. properties, and biol. activities of 21 novel spiro cyclopropyl compds., e.g. I [R = H, SO2Ph, CO2CMe3, COMe, substituted (indol-2-yl)carbonyl], prepd. by intramol. cyclopropanation of pyrroloindoles II (R1 = PhCH2, R2 = SO2CF3; R1 = R2 = H), are described. Many I are more effective than the antitumor antibiotic CC-1065 (III) against murine tumors. In particular, IV exhibits high activity and potency. Structure-activity anal. supports a mol. mechanism of biol. action involving hydrophobic interaction of the drug with DNA and acid-catalyzed alkylation of DNA.

AN 1988:94431 CAPLUS

DN 108:94431

TI Stereoelectronic factors influencing the biological activity and DNA interaction of synthetic antitumor agents modeled on CC-1065

AU Warpehoski, M. A.; Gebhard, I.; Kelly, R. C.; Krueger, W. C.; Li, L. H.; McGovren, J. P.; Prairie, M. D.; Wicnienski, N.; Wierenga, W.

CS Res. Lab., Upjohn Co., Kalamazoo, MI, 49001, USA

SO Journal of Medicinal Chemistry (1988), 31(3), 590-603
CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

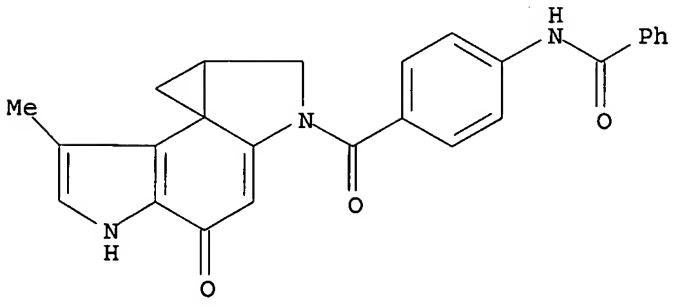
LA English

OS CASREACT 108:94431

IT **112089-40-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., antitumor activity, induced CD, and kinetics of ring cleavage of)

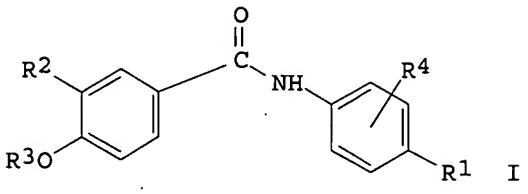
RN 112089-40-4 CAPLUS

CN Benzamide, N-[4-[(4,5,8,8a-tetrahydro-7-methyl-4-oxocyclopropa[c]pyrrolo[3,2-e]indol-2(1H)-yl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 37 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN

GI



I

AB Title compds. I [R1 = CH2OH, CH(OH)Me, COR5; R5 = H, amino, alkyl, OR6; R6 = H, alkyl, hydroxyalkyl; R2 = (.alpha.,.alpha.-disubstituted) alkyl, cycloalkyl; R3 = H, C1-10 alkyl; R4 = H, OH, alkyl] and their salts are prepd. for use in cosmetics and for treating various skin conditions (no data). A THF soln. of 2.5 g 4-H2NC6H4CO2Me and 2.6 mL Et3N was treated with 5.64 g 3-(1-adamantyl)-4-methoxybenzoyl chloride at room temp. to give 92% I (R1 = CO2Me, R2 = 1-adamantyl, R3 = Me, R4 = H), which (0.001 g) was formulated into a tablet which also contained starch 0.114, CaHPO4 0.020, silica 0.020, lactose 0.030, talc 0.010, and Mg stearate 0.050 g.

AN 1988:37406 CAPLUS

DN 108:37406

TI Preparation and formulation of aromatic benzamido compounds useful in human or veterinary medicine and in cosmetics

IN Shroot, Braham Villa; Eustache, Jacques; Bernardon, Jean Michel

PA Centre International de Recherches Dermatologiques (CIRD), Fr.

SO Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW

DT Patent

LA French

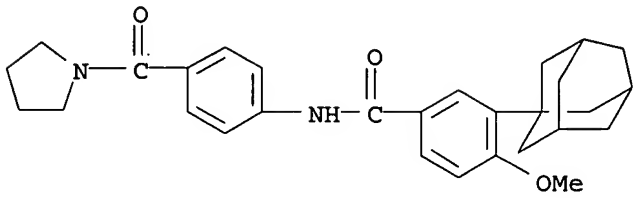
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 232199	A2	19870812	EP 1987-400134	19870120
	EP 232199	A3	19891227		
	EP 232199	B1	19930203		
	R: BE, CH, DE, FR, GB, IT, LI, NL, SE				
	DK 8700291	A	19870722	DK 1987-291	19870120
	DK 172063	B1	19971006		
	AU 8767806	A1	19870723	AU 1987-67806	19870120
	AU 597329	B2	19900531		
	JP 62190154	A2	19870820	JP 1987-13274	19870120
	JP 2520120	B2	19960731		
	CA 1315201	A1	19930330	CA 1987-527731	19870120
	CA 1337344	A1	19951017	CA 1987-527732	19870120
	ZA 8700435	A	19870930	ZA 1987-435	19870121
	US 4927928	A	19900522	US 1987-5727	19870121
	US 5212203	A	19930518	US 1990-483625	19900223
PRAI	LU 1986-86258		19860121		
	US 1987-5727		19870121		

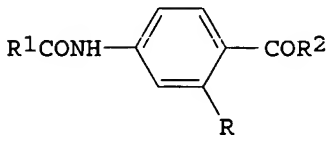
IT **111008-45-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as cosmetic and dermatol. agent)

RN 111008-45-8 CAPLUS

CN Benzamide, 4-methoxy-N-[4-(1-pyrrolidinylcarbonyl)phenyl]-3-tricyclo[3.3.1.13,7]dec-1-yl- (9CI) (CA INDEX NAME)

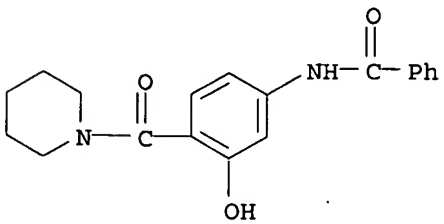


L9 ANSWER 38 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN
GI



I

AB Title salts I [R = H, R1 = Ph, ClC6H4, PhOCH2, 1-naphthyl, R2 = Et2NCH2CH2O or I-Et3N+CH2CH2O, (12 compds.); R = H, R1 = o-ClC6H4, R2 = Et2NCH2CH2NH, I-Et3N+CH2CH2NH; R = H, R1 = ClC6H4, PhOCH2, 1-naphthyl, R2 = Et2N, pyrrolidino, piperidino, morpholino, N-methylpiperazino, (18 compds.); R = OH, R1 = Ph, R2 = C3H7NH, C4H9NH, piperidino, morpholino, N-methylpiperazino] were prepd. from procaine, procainamide, or 2,4-R(H2N)C6H3CO2H (R = H, OH) by known reactions. Preliminary pharmacol. tests on isolated guinea pig ileum showed that I gave nonspecific inhibition on smooth muscles.
AN 1979:420067 CAPLUS
DN 91:20067
TI Synthesis of 4-substituted aminobenzoate quaternary salts as potent antispasmodic agents
AU Ibrahim, El Sebai A.; Soliman, Raafat; Gabr, Mohamed
CS Fac. Pharm., Univ. Alexandria, Alexandria, Egypt
SO Journal of Pharmaceutical Sciences (1979), 68(3), 332-5
CODEN: JPMSAE; ISSN: 0022-3549
DT Journal
LA English
IT **70204-93-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and muscle relaxant activity of)
RN 70204-93-2 CAPLUS
CN Benzamide, N-[3-hydroxy-4-(1-piperidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 39 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN

AB 4-ROC6H4CONHC6H4CO2H-4 (I; R = Me, Et, Me2CH, Bu) were prepd. in 88-92% yield by reaction of 4-ROC6H4COCl with 4-H2NC6H4CO2H. Treatment of I with an amine gave 60-73% 4-ROC6H4CONHC6H4COR1 (II; R1 = NH(CH2)2NEt2, 4-methylpiperazinyl, piperidyl, morpholinyl). All II had some anticholinergic activity at 30-50 .mu.g/mL; II (R = Me2CH, R1 = morpholino) was the most effective of the series. The order of potency of the antihistaminic activity was II (R = Me2CH, R1 = morpholino) > II (R = Me2CH, R1 = NH(CH2)2NEt2) (III) > II (R = Me2CH, R1 = piperidino) > II (R = Me2CH, R1 = 4-methylpiperazinyl). III had similar antagonistic effects on both histamine and acetylcholine induced contractions.

AN 1979:86957 CAPLUS

DN 90:86957

TI Synthesis of some alkoxybenzamide derivatives as smooth muscle relaxant agents

AU Rida, S. M.; Ashour, Fawzia A.; Daabees, T. T.

CS Fac. Pharm., Univ. Alexandria, Alexandria, Egypt

SO Pharmazie (1978), 33(10), 647-9
CODEN: PHARAT; ISSN: 0031-7144

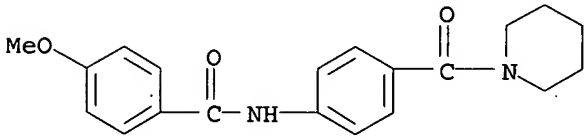
DT Journal

LA English

IT **68962-73-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and anticholinergic activity of)

RN 68962-73-2 CAPLUS

CN Benzamide, 4-methoxy-N-[4-(1-piperidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 40 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN

GI For diagram(s), see printed CA Issue.

AB Pigments of high light fastness are obtained by diazotizing leuco sulfuric esters of 2-amino-anthraquinones, coupling with 3-hydroxy-2-naphthanilides, and oxidizing the product to give I. Thus, 42.9 parts of the di-Na salt of 2-aminoanthraquinone 9,10-dihydrodisulfuric acid ester (II) is diazotized, coupled with 33.4 parts 4'-(butylcarbamoyl)-3-hydroxy-2-naphthanilide (III) and the product hydrolyzed and oxidized by heating in 1500 parts H2O with 13 parts 31.5% aq. NaNO2 and 95 parts 20.degree. Be. HCl for 0.5-1 hr. at 70-90.degree. to give I(V = W = X = Z = H, Y = CONMe2), a red pigment. Similarly, other I are prepd. (V, W, X, Y, Z, and color given): 3-Cl, H, H, CONHCHMe2, H, red; 3-Cl, H. H, H, CONHPh, red; 3-Cl, Me, H, SO2R (R = piperidino), H, orange; 1-Cl, Me, H, H, SO2R, red; 3-Cl, H, H, COR, H, red; H, H, H, H, CONHCHMe2, H, red; 6-Cl, H, H, CONHC6H11, H,; 3-Cl, Cl, H, SO2NMe2, H, -; 3-Cl, OMe, H, H, CONMe2; 3-Cl, H, NO2, CONH2, H,; 3-Cl, H, H, CONMe2, H, -. Similarly, the 1-amino isomer of II and the 4-CONHBu analog of III gave a red pigment. The 3-Cl deriv. of II was also coupled with 8-hydroxy-4'-(isopropylcarbamoyl)-1-naphthanilide.

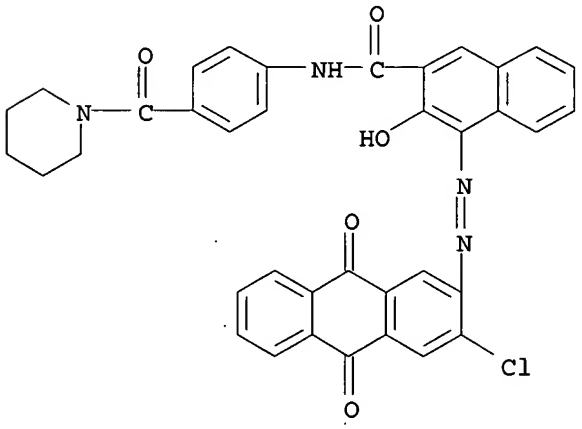
AN 1963:436081 CAPLUS

DN 59:36081

OREF 59:6556e-h
TI Anthraquinone azo dyes
IN Bergstrom, Herman A.
PA General Aniline & Film Corp.
SO 5 pp.
DT Patent
LA Unavailable

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3079376		19630226	US	19570215

IT **97830-01-8**, 2-Naphthanilide, 4-[(3-chloro-2-anthraquinonyl)azo]-3-hydroxy-4'-(piperidinocarbonyl)-
(prepn. of)
RN 97830-01-8 CAPLUS
CN 2-Naphthanilide, 4-[(3-chloro-2-anthraquinonyl)azo]-3-hydroxy-4'-(piperidinocarbonyl)- (7CI) (CA INDEX NAME)



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CA SUBSCRIBER PRICE                                0.00     -26.04

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FILE LAST UPDATED:  01 May 1997 (19970501/UP)

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  assignees, and patent information, e.g., patent numbers, are
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L3                STRUCTURE UPLOADED
L4              35 S L3
L5             675 S L3 FUL

     FILE 'CAPLUS' ENTERED AT 18:11:29 ON 14 AUG 2003
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L7                STRUCTURE UPLOADED
L8             446 S L7 FUL

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L9              40 S L8

     FILE 'USPATFULL, USPAT2' ENTERED AT 18:21:02 ON 14 AUG 2003
L10              0 S L8 NOT L9
L11             28 S L8
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L12 ANSWER 1 OF 1 CAOLD COPYRIGHT 2003 ACS on STN
AN CA59:6556e CAOLD
TI anthraquinone azo dyes
AU Bergstrom, Herman A.
DT Patent
TI dyes (anthraquinone)
PA General Aniline & Film Corp.
DT Patent
TI dyes (anthraquinone)
PA General Aniline & Film Corp.
DT Patent

	PATENT NO.	KIND	DATE
PI	US 3079376		1963

IT 96709-66-9 96711-14-7 96810-98-9 96975-46-1 96975-96-1
97830-01-8 98016-81-0 98016-92-3 98840-17-6 105123-38-4
106170-93-8

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CA SUBSCRIBER PRICE	0.00	-26.04

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STRUCTURE FILE UPDATES: 13 AUG 2003 HIGHEST RN 566135-25-9
DICTIONARY FILE UPDATES: 13 AUG 2003 HIGHEST RN 566135-25-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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L13 1 97830-01-8/RN

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SET COMMAND COMPLETED

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THE ESTIMATED COST FOR THIS REQUEST IS 5.63 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L13 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2003 ACS on STN
RN **97830-01-8** REGISTRY
CN 2-Naphthanilide, 4-[(3-chloro-2-anthraquinonyl)azo]-3-hydroxy-4'-(piperidinocarbonyl)- (7CI) (CA INDEX NAME)
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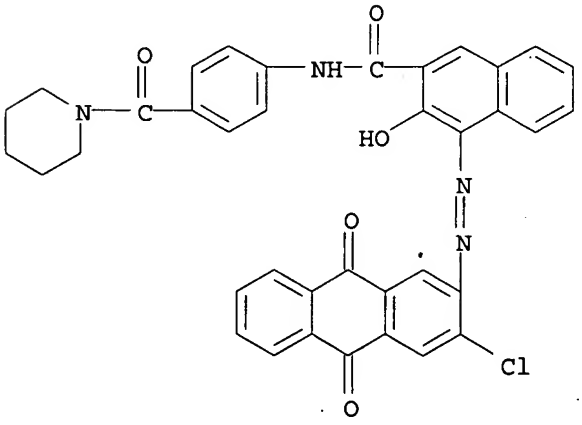
SR CAOLD
LC STN Files: CA, CAOLD, CAPLUS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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1 REFERENCES IN FILE CAPLUS (1947 TO DATE)
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

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